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L7 ANSWER 1 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:669079 CAPLUS Full-text

DN 138:331172

TI Quantitative structure-Activity relationship studies on 5-phenyl-3-ureido-1,5-benzodiazepine as cholecystokinin-A receptor antagonists

AU Agrawal, Vijay K.; Sharma, Ruchi; Khadikar, Padmakar V.

CS Department of Chemistry, QSAR and Computer Chemical Laboratories, A. P.

S. University, Rewa, 486 003, India

SO Bioorganic & Medicinal Chemistry (2002), 10(11), 3571-3581 CODEN: BMECEP; ISSN: 0968-0896

PB Elsevier Science Ltd.

DT Journal

LA English

AB Quant. structure-activity relationship (QSAR) studies on a series of 5-phenyl-3-ureido-1,5-benzodiazepine-2,4-diones has been carried out using a pool of distance-based topol. indexes. Step-wise regression anal. indicated that penta-parametric regression expression containing Sz, B, Ip1, Ip2 and Ip3 is the most potent and selective for CCK-A affinity. The predictive potential of the model is discussed on the basis of cross-validation parameters as well as by estimating root mean square (RMSR) of the residuals.

IT 151386-78-6 153929-94-3 153929-95-4 153929-96-5 153929-97-6 153929-99-8 153930-18-8 153930-19-9 307967-10-8 308117-01-3

RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)

(quant. structure-Activity relationship studies on 5-Ph-3-ureido-1,5-benzodiazepine as cholecystokinin-A receptor antagonists)

RN 151386-78-6 CAPLUS

CN Urea, N-[3-(dimethylamino)phenyl]-N'-[(3S)-1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 153929-94-3 CAPLUS

CN Urea, N-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-

1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

RN 153929-95-4 CAPLUS
CN Urea, N-[1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro2,4dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

RN 153929-96-5 CAPLUS
CN Urea, N-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo
1H-1,5-benzodiazepin-3-yl]-N'-[3-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 153929-97-6 CAPLUS
CN Urea, N-[3-(dimethylamino)phenyl]-N'-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)

RN 153929-99-8 CAPLUS

CN Urea, N-[3-(dimethylamino)phenyl]-N'-[1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-(9CI) (CA INDEX NAME)

RN 153930-18-8 CAPLUS

CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

RN 153930-19-9 CAPLUS

CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[3-(dimethylamino)phenyl]- (9CI) (CA INDEX NAME)

RN 307967-10-8 CAPLUS

CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-

dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[3-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 308117-01-3 CAPLUS

CN Urea, N-[3-(dimethylamino)phenyl]-N'-[(3R)-1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:846593 CAPLUS Full-text

DN 136:256724

TI Peptide/benzodiazepine hybrids as ligands of CCKA and CCKB receptors

AU Escherich, Achim; Lutz, Jurgen; Escrieut, Chantal; Fourmy, Daniel; Van Neuren, A. Stephanie; Muller, Gerhard; Schafferhans, Andrea; Klebe, Gerhard; Moroder, Luis

CS Max-Planck Institute of Biochemistry, Martinsried, 82152, Germany

SO Biopolymers (2001), Volume Date 2000-2001, 56(2), 55-76 CODEN: BIPMAA; ISSN: 0006-3525

PB John Wiley & Sons, Inc.

DT Journal

LA English

The (neuro)hormones gastrin and cholecystokinin (CCK) share a common C-AΒ terminal tetrapeptide amide sequence that has been recognized as the message portion while the N-terminal extensions are responsible for the CCKA and CCKB receptor subtype selectivity and avidity. 1,4-Benzodiazepine derivs. are potent and selective antagonists of these receptors, and according to comparative mol. field anal., the structures of these nonpeptidic compds. could well mimic the message sequence of the peptide agonists at least in terms of spatial array of the aromatic residues. Docking of a larger series of low mol. weight nonpeptide antagonists to a homol. modeling derived CCKB receptor structure revealed a consensus binding mode that is further validated by data from site-directed mutagenesis studies of the receptors. Whether this putative binding pocket of the nonpeptide antagonists is identical to that of the message portion of the peptide agonists, or whether it is distinct and spatially separated, or overlapping, but with.

IT 404391-51-1

RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)

(peptide/benzodiazepine hybrids as ligands of CCKA and CCKB receptors)

RN 404391-51-1 CAPLUS

CN Urea, N-(3-methylphenyl)-N'-[2,3,4,5-tetrahydro-1,5-bis(2-methylphenyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)

RE.CNT 90 THERE ARE 90 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:653175 CAPLUS Full-text

DN 134:4921

TI Synthesis and SAR of New 5-Phenyl-3-ureido-1,5-benzodiazepines as Cholecystokinin-B Receptor Antagonists

AU Ursini, Antonella; Capelli, Anna M.; Carr, Robin A. E.; Cassara, Paolo; Corsi, Mauro; Curcuruto, Ornella; Curotto, Giovanni; Dal Cin, Michele; Davalli, Silvia; Donati, Daniele; Feriani, Aldo; Finch, Harry; Finizia, Gabriella; Gaviraghi, Giovanni; Marien, Marc; Pentassuglia, Giorgio; Polinelli, Stefano; Ratti, Emiliangelo; Reggiani, Aldo; Tarzia, Giorgio; Tedesco, Giovanna; Tranquillini, Maria E.; Trist, David G.; Van Amsterdam, Frank T. M.

CS Glaxo Wellcome Medicines Research Centre, Verona, 37135, Italy

SO Journal of Medicinal Chemistry (2000), 43(20), 3596-3613 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

OS CASREACT 134:4921

GI

AB A series of 5-phenyl-3-ureidobenzodiazepine-2,4-diones, e.g. I, was synthesized and evaluated as cholecystokinin-B (CCK-B) receptor antagonists. Structure-activity relationship (SAR) studies revealed the importance of the N-1 substituent for potent and selective CCK-B affinity. Addition of substituents at the urea side chain provided in some cases more potent compds. Introduction of bulky substituents such as adamantylmethyl at N-1 and resolution of the racemic ureas resulted in our lead compound (+)-N-[1-(adamant-1-ylmethyl)-2,4-dioxo-5-phenyl-2,3,4,5-tetrahydro-1H-1,5- benzodiazepin-3-yl]-N'-(4-bromophenyl)urea (GV150013).

IT 151386-78-6P 153929-94-3P 153929-95-4P 153929-96-5P 153929-97-6P 153929-98-7P 153929-99-8P 153930-18-8P 153930-19-9P 160752-74-9P 307967-09-5P 307967-10-8P 308117-01-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)

(preparation, biol. activity and structure-activity relationship of phenylureidobenzodiazepines as cholecystokinin-B receptor

antagonists)

RN 151386-78-6 CAPLUS

CN Urea, N-[3-(dimethylamino)phenyl]-N'-[(3S)-1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 153929-94-3 CAPLUS

CN Urea, N-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-

1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

RN 153929-95-4 CAPLUS

CN Urea, N-[1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-

dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

RN 153929-96-5 CAPLUS

CN Urea, N-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-

1H-1,5-benzodiazepin-3-yl]-N'-[3-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 153929-97-6 CAPLUS

Urea, N-[3-(dimethylamino)phenyl]-N'-[1-(2-fluorophenyl)-2,3,4,5tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI)
(CA INDEX NAME)

RN 153929-98-7 CAPLUS

CN Urea, N-[1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-

2,4dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[3-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 153929-99-8 CAPLUS

Urea, N-[3-(dimethylamino)phenyl]-N'-[1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl](9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{Me}_{2}\text{N} & & & \\ & & & \\ & & & \\ \text{CH}_{2}\text{-CH}_{2}\text{-CMe}_{3} \end{array}$$

RN 153930-18-8 CAPLUS

CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-

dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

RN 153930-19-9 CAPLUS

CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-

RN 160752-74-9 CAPLUS
CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro2,4dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[3-(1H-tetrazol-5-yl)phenyl]- (9CI)
(CA INDEX NAME)

RN 307967-09-5 CAPLUS
CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro2,4dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[4-(dimethylamino)phenyl]- (9CI)
(CA
INDEX NAME)

RN 307967-10-8 CAPLUS

CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-

dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[3-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 308117-01-3 CAPLUS

Urea, N-[3-(dimethylamino)phenyl]-N'-[(3R)-1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 151386-23-1P 307967-13-1P

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation, biol. activity and structure-activity relationship of phenylureidobenzodiazepines as cholecystokinin-B receptor

antagonists)

RN 151386-23-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 307967-13-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

151385-65-8P 151385-68-1P 151386-29-7P ΙT 151620-15-4P 151620-16-5P 151620-22-3P 151620-60-9P 151620-61-0P 151620-69-8P 153930-31-5P 153930-53-1P 307967-14-2P 307967-16-4P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation, biol. activity and structure-activity relationship of phenylureidobenzodiazepines as cholecystokinin-B receptor antagonists) 151385-65-8 CAPLUS RN 1H-1, 5-Benzodiazepine-2, 3, 4(5H)-trione, 1-(2-fluorophenyl)-5-(3-fluorophenyl)CN methylbutyl) -, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)

RN 151385-68-1 CAPLUS
CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)

RN 151386-29-7 CAPLUS
CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)

RN 151620-15-4 CAPLUS

CN Carbamic acid, [1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, phenyl ester (9CI) (CA INDEX NAME)

RN 151620-16-5 CAPLUS

CN Carbamic acid, [1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, phenyl ester (9CI)

(CA INDEX NAME)

RN 151620-22-3 CAPLUS

CN Carbamic acid, [1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-

RN 151620-60-9 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)- (9CI) (CA INDEX NAME)

RN 151620-61-0 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(3,3-dimethylbutyl)-5-(2-

fluorophenyl) - (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me}_3\text{C}-\text{CH}_2-\text{CH}_2 \\ \text{O} \\ \text{H}_2\text{N} \\ \end{array}$$

RN 151620-69-8 CAPLUS CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-cyclopentylethyl)-5-(2-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 153930-31-5 CAPLUS
CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-(2-fluorophenyl)-3-isocyanato5(3-methylbutyl)- (9CI) (CA INDEX NAME)

RN 153930-53-1 CAPLUS
CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-3-isocyanato- (9CI) (CA INDEX NAME)

RN 307967-14-2 CAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1R,4S)-, compd. with (-)-3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)-

1H1,5-benzodiazepine-2,4(3H,5H)-dione (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 307967-13-1

CMF C20 H22 F N3 O2

Rotation (-).

CM 2

CRN 35963-20-3

CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (-).

RN 307967-16-4 CAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1S,4R)-, compd. with (+)-3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)-

1H1,5-benzodiazepine-2,4(3H,5H)-dione (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151386-23-1

CMF C20 H22 F N3 O2

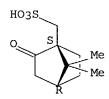
Rotation (+).

CM 2

CRN 3144-16-9

CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (+).



RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

Apple

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L7
     ANSWER 4 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ΑN
     2000:277967 CAPLUS Full-text
     132:293781
DN
     Preparation process of 1,5-benzodiazepines as medicine
TI
     Oi, Satoru; Suzuki, Nobuhiro; Matsumoto, Takahiro
IN
     Takeda Chemical Industries, Ltd., Japan
PΑ
SO
     PCT Int. Appl., 171 pp.
     CODEN: PIXXD2
DT
     Patent
     Japanese
LA
FAN.CNT 1
                      KIND
                            DATE
     PATENT NO.
                                           APPLICATION NO.
                                                             DATE
                            _____
                            20000427
     WO 2000023428
                                           WO 1999-JP5754
                                                            19991019
PΙ
                       Α1
         W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM,
             EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR,
             LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK,
             SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG,
             KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 9961245
                       A1
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                                          AU 1999-61245
                                                             19991019
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                       A2
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                                                             19991019
     EP 1123928
                       A1
                            20010816
                                           EP 1999-947961
                                                             19991019
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     US 2003149027
                       A1
                            20030807
                                           US 2001-894105
                                                            20010628
PRAI JP 1998-298941
                       Α
                            19981020
     WO 1999-JP5754
                       W
                            19991019
     MARPAT 132:293781
OS
GΙ
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- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- Title compds. [I; wherein ring B represents an optionally substituted cyclic hydrocarbon group; Z represents hydrogen or an optionally substituted cyclic group; R1 represents hydrogen, an optionally substituted hydrocarbon group, an optionally substituted heterocyclic group, or acyl; R2 represents optionally substituted amino; D represents a bond or a divalent group; E represents a bond, CO, CON(Ra), COO, N(Ra)CON(Rb), N(Ra)CON(Rb), N(Ra)CON(Rb), N(Ra)SO2, N(Ra), S, SO, SO2; Ra and Rb each independently represents hydrogen or an optionally substituted hydrocarbon group; L represents a bond or a divalent group; A represents hydrogen or a substituent; X and Y each represents hydrogen or an independent substituent; dotted bond indicates that R2 may be bonded to an atom on the ring B to form a ring] and salts are prepared (preparation given) from RaNHGZ and tested as medicine. Thus, the title compound II was prepared
- TT 264915-23-3P 264915-24-4P 264915-25-5P 264915-26-6P 264915-27-7P 264915-28-8P 264915-29-9P 264915-31-3P 264915-32-4P 264915-33-5P 264915-34-6P 264915-35-7P 264915-36-8P 264915-37-9P 264915-38-0P 264915-39-1P 264915-40-4P 264915-41-5P 264915-42-6P 264915-43-7P 264915-44-8P

264915-45-9P 264915-46-0P 264915-47-1P 264915-48-2P 264915-49-3P 264915-50-6P 264915-51-7P 264915-52-8P 264915-53-9P 264915-54-0P 264915-55-1P 264915-56-2P 264915-57-3P 264915-58-4P 264915-59-5P 264915-60-8P 264915-61-9P 264915-62-0P 264915-63-1P 264915-64-2P 264915-65-3P 264915-66-4P 264915-67-5P 264915-68-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation process of 1,5-benzodiazepines as medicine)

264915-23-3 CAPLUS RN

1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-([1,1'-CN biphenyl]-4-ylmethyl)-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

RN 264915-24-4 CAPLUS

1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-([1,1'-CN biphenyl]-4-ylmethyl)-N-[(2-chlorophenyl)methyl]-2,3,4,5-tetrahydro-2,4dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

RN 264915-25-5 CAPLUS

1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-([1,1'-CN biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-N-[(2-methoxyphenyl)methyl]-2,4-

dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

RN 264915-26-6 CAPLUS

CN 1H-1,5-Benzodiazepine-1,3-diacetamide, 5-[4-(aminomethyl)phenyl]-N3-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-N1-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 264915-27-7 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-[[4-(benzoylamino)phenyl]methyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-

2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 264915-28-8 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-[[4-[(methylsulfonyl)amino]phenyl]methyl]-2,4-dioxo-, monohydrochloride (9CI)

(CA INDEX NAME)

$$\begin{array}{c} \text{H2N-CH2} \\ \text{F} \\ \text{CH}_2 - \text{NH-C-CH}_2 \\ \text{CH}_2 \\ \text{Me-S-NH} \end{array}$$

RN 264915-29-9 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-(2-[1,1'-biphenyl]-4-ylethyl)-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

RN 264915-31-3 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[3-(aminomethyl)phenyl]-5-([1,1'-biphenyl]-4-ylmethyl)-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

RN 264915-32-4 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-[[4-[(4-methoxybenzoyl)amino]phenyl]methyl]-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 264915-33-5 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-[[4-[(4-chlorobenzoyl)amino]phenyl]methyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 264915-34-6 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-[[4-(trifluoromethyl)benzoyl]amino]phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 264915-35-7 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-5-[[4-[(2-furanylcarbonyl)amino]phenyl]methyl]-2,3,4,5-tetrahydro-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 264915-36-8 CAPLUS
CN 1H-1.5-Benzodiazepin

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-[(2-thienylcarbonyl)amino]phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX

NAME)

HC1

RN 264915-37-9 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-[[4-[(cyclohexylcarbonyl)amino]phenyl]methyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 264915-38-0 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-[[4-[(2,2-

dimethyl-1-oxopropyl)amino]phenyl]methyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & \text{H}_2\text{N}-\text{CH}_2 \\
\hline
 & \text{CH}_2-\text{NH}-\text{C}-\text{CH}_2 \\
\hline
 & \text{CH}_2 \\
\hline
 & \text{CH}_2
\end{array}$$

HC1

RN 264915-39-1 CAPLUS

fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-

benzodiazepin-1-yl]methyl]phenyl]-, phenylmethyl ester, monohydrochloride

(9CI) (CA INDEX NAME)

RN 264915-40-4 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-[[4-[(4-fluorobenzoyl)amino]phenyl]methyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 264915-41-5 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-[[4-[(3-chlorobenzoyl)amino]phenyl]methyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 264915-42-6 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-[[4-[(2-chlorobenzoyl)amino]phenyl]methyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 264915-43-7 CAPLUS
CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-[(phenylsulfonyl)amino]phenyl]methyl]-, monohydrochloride (9CI) (CFINDEX

NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 264915-44-8 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-5-[[4-[(4-

fluorophenyl)sulfonyl]amino]phenyl]methyl]-

2,3,4,5-tetrahydro-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

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● HCl

RN 264915-45-9 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-[[4-[[(4-methylphenyl)sulfonyl]amino]phenyl]methyl]-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 264915-46-0 CAPLUS
CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-[[[4-(trifluoromethyl)phenyl]sulfonyl]amino]phenyl]methyl]-,
monohydrochloride
(9CI) (CA INDEX NAME)

HC1

RN 264915-47-1 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-[[4-[[(4-nitrophenyl)sulfonyl]amino]phenyl]methyl]-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 264915-48-2 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-[[4-[[(4-aminophenyl)sulfonyl]amino]phenyl]methyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 264915-49-3 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[[4-[[4-(acetylamino)phenyl]sulfonyl]amino]phenyl]methyl]-5-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 264915-50-6 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-[[(phenylamino)carbonyl]amino]phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{H}_2\text{N-CH}_2\\ \\ \text{F}\\ \text{CH}_2-\text{NH-C-CH}_2\\ \\ \text{PhNH-C-NH} \end{array}$$

RN 264915-51-7 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-[(4-bromophenyl)methyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

RN 264915-52-8 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-(3-thienyl)phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 264915-53-9 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-(2-thienyl)phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 264915-54-0 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-[4-(aminomethyl)phenyl]-5-([1,1'-

biphenyl]-4-ylmethyl)-3-[(2-fluorophenyl)methyl]-, monohydrochloride
(9CI)

(CA INDEX NAME)

RN 264915-55-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-[4-(aminomethyl)phenyl]-5-([1,1'-

biphenyl]-4-ylmethyl)-3-[(3,4-dichlorophenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 264915-56-2 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 264915-57-3 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-(phenylmethoxy)phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 264915-58-4 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-[(4-hydroxyphenyl)methyl]-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

RN 264915-59-5 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[[4-(acetyloxy)phenyl]methyl]-5-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

RN 264915-60-8 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-[(4-chlorophenyl)methyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

RN 264915-61-9 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-(2,2-dimethylpropyl)-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-

monohydrochloride (9CI) (CA INDEX NAME)

$$H_2N-CH_2$$
 F
 $CH_2-NH-C-CH_2$
 CH_2-CMe_3

HCl

RN 264915-62-0 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-(trifluoromethyl)phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 264915-63-1 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-(2-naphthalenylmethyl)-2,4-dioxo-

, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 264915-64-2 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-[(4-methoxyphenyl)methyl]-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

F
$$CH_2$$
 CH_2 CH_2 CH_2 CH_2 CH_2 CH_2 CH_2

RN 264915-65-3 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(1-amino-1-methylethyl)phenyl]-5-

([1,1!-biphenyl]-4-ylmethyl)-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-

2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

RN 264915-66-4 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-([1,1'-biphenyl]-4-ylmethyl)-7-chloro-N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

RN 264915-67-5 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-2,4-dioxo-N-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

RN 264915-68-6 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetamide, 1-[4-(aminomethyl)phenyl]-5-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-2,4-dioxo-N-(2-phenylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

```
264915-72-2P 264915-73-3P 264915-74-4P
IT
    264915-75-5P 264915-76-6P 264915-77-7P
     264915-80-2P 264915-81-3P 264915-82-4P
     264915-83-5P 264915-84-6P 264915-85-7P
     264915-86-8P 264915-87-9P 264915-88-0P
     264915-89-1P 264915-90-4P 264915-91-5P
     264915-92-6P 264915-93-7P 264915-94-8P
     264915-95-9P 264915-96-0P 264915-97-1P
     264916-02-1P 264916-03-2P 264916-04-3P
     264916-05-4P 264916-19-0P 264916-20-3P
     264916-21-4P 264916-22-5P 264916-23-6P
     264916-24-7P 264916-25-8P 264916-29-2P
     264916-30-5P 264916-31-6P 264916-32-7P
     264916-33-8P 264916-34-9P 264916-35-0P
     264916-36-1P 264916-37-2P 264916-38-3P
     264916-46-3P 264916-47-4P 264916-48-5P
     264916-49-6P 264916-54-3P 264916-55-4P
     264916-56-5P 264916-57-6P 264916-58-7P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT
     (Reactant or reagent)
        (preparation process of 1,5-benzodiazepines as medicine)
RN
     264915-72-2 CAPLUS
     Carbamic acid, [[4-[5-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-
CN
2,4-
     dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester
     (9CI) (CA INDEX NAME)
```

RN 264915-73-3 CAPLUS
CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-([1,1'-biphenyl]-4-ylmethyl)-5[4[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro2,4-dioxo-, methyl ester (9CI) (CA INDEX NAME)

RN 264915-74-4 CAPLUS
CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-([1,1'-biphenyl]-4-ylmethyl)-5[4[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro2,4-dioxo-(9CI) (CA INDEX NAME)

RN 264915-75-5 CAPLUS
CN Carbamic acid, [[4-[5-([1,1'-biphenyl]-4-ylmethyl)-3-[2-[[(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-

benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 264915-76-6 CAPLUS
CN Carbamic acid, [[4-[5-([1,1'-biphenyl]-4-ylmethyl)-3-[2-[[(2-chlorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-

benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 264915-77-7 CAPLUS

[2-

RN

CN Carbamic acid, [[4-[5-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-3-

[[(2-methoxyphenyl)methyl]amino]-2-oxoethyl]-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

264915-80-2 CAPLUS

CN Carbamic acid, [[4-(2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl)phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 264915-81-3 CAPLUS

CN 1H-1,5-Benzodiazepine-1-acetic acid, 5-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-2,4-dioxo-

, methyl ester (9CI) (CA INDEX NAME)

RN 264915-82-4 CAPLUS

CN 1H-1,5-Benzodiazepine-1,3-diacetic acid, 5-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-2,4-dioxo-

, α 1-methyl α 3-(phenylmethyl) ester (9CI) (CA INDEX NAME)

RN 264915-83-5 CAPLUS

CN 1H-1,5-Benzodiazepine-1,3-diacetic acid, 5-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-2,4-dioxo-

, $\alpha 1\text{-methyl}$ ester (9CI) (CA INDEX NAME)

RN 264915-84-6 CAPLUS

CN 1H-1,5-Benzodiazepine-1-acetic acid, 5-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-3-[2-[[(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-, methyl ester (9CI) (CA INDEX NAME)

RN 264915-85-7 CAPLUS

CN 1H-1,5-Benzodiazepine-1-acetic acid, 5-[4-[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-3-[2-[[(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-(9CI)

(CA INDEX NAME)

RN 264915-86-8 CAPLUS

CN Carbamic acid, [[4-[3-[2-[[(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[2-oxo-2-(phenylamino)ethyl]-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 264915-87-9 CAPLUS

CN Carbamic acid, [[4-[2,3,4,5-tetrahydro-5-[(4-nitrophenyl)methyl]-2,4-dioxo-

1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 264915-88-0 CAPLUS

CN Carbamic acid, [[4-[5-[(4-aminophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-

1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 264915-89-1 CAPLUS

CN Carbamic acid, [[4-[2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-[(phenylmethylene)amino]phenyl]methyl]-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 264915-90-4 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-[4-[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-2,4-dioxo-

5-[[4-[(phenylmethylene)amino]phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 264915-91-5 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-[(4-aminophenyl)methyl]-5-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-2,4-dioxo-, methyl ester (9CI) (CA INDEX NAME)

RN 264915-92-6 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-[[4-(benzoylamino)phenyl]methyl]-5-

[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-

2,4-dioxo-, methyl ester (9CI) (CA INDEX NAME)

RN 264915-93-7 CAPLUS
CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-[[4-(benzoylamino)phenyl]methyl]-5[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5tetrahydro2,4-dioxo-(9CI) (CA INDEX NAME)

RN 264915-94-8 CAPLUS

CN Carbamic acid, [[4-[5-[[4-(benzoylamino)phenyl]methyl]-3-[2-[[(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-

1,5 benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA
 INDEX NAME)

RN 264915-95-9 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-5-[[4-[(methylsulfonyl)amino]phenyl]methyl]-2,4-dioxo-, methyl ester (9CI) (CA

INDEX NAME)

RN 264915-96-0 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-5-[[4-[(methylsulfonyl)amino]phenyl]methyl]-2,4-dioxo-(9CI) (CA INDEX NAME)

RN 264915-97-1 CAPLUS

CN Carbamic acid, [[4-[3-[2-[[(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-5-[[4-[(methylsulfonyl)amino]phenyl]methyl]-2,4-dioxo-

1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 264916-02-1 CAPLUS
CN Carbamic acid, [[4-[5-(2-[1,1'-biphenyl]-4-ylethyl)-2,3,4,5-tetrahydro-2,4dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester
(9CI) (CA INDEX NAME)

RN 264916-03-2 CAPLUS

CN 1H-1,5-Benzodiazepine-3-carboxylic acid, 1-(2-[1,1'-biphenyl]-4-ylethyl)-5-

[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-

2,4-dioxo-, methyl ester (9CI) (CA INDEX NAME)

RN 264916-04-3 CAPLUS

CN 1H-1,5-Benzodiazepine-3-carboxylic acid, 1-(2-[1,1'-biphenyl]-4-ylethyl)-5-

[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-

2,4-dioxo- (9CI) (CA INDEX NAME)

RN 264916-05-4 CAPLUS

CN Carbamic acid, [[4-[5-(2-[1,1'-biphenyl]-4-ylethyl)-3-[2-[[(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-

1,5 benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA
 INDEX NAME)

RN 264916-19-0 CAPLUS Carbamic acid, [[3-[5-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-CN 2,4dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester

(9CI) (CA INDEX NAME)

RN 264916-20-3 CAPLUS

[3-

[3-

CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-([1,1'-biphenyl]-4-ylmethyl)-5-

[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-2,4-dioxo-, methyl ester (9CI) (CA INDEX NAME)

RN 264916-21-4 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-([1,1'-biphenyl]-4-ylmethyl)-5-

[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-2,4-dioxo-(9CI) (CA INDEX NAME)

RN 264916-22-5 CAPLUS

CN Carbamic acid, [[3-[5-([1,1'-biphenyl]-4-ylmethyl)-3-[2-[[(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-

1,5 benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA
 INDEX NAME)

RN 264916-23-6 CAPLUS

CN Carbamic acid, [[4-[3-[2-[[(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-5-[[4-[[(4-nitrophenyl)sulfonyl]amino]phenyl]methyl]-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 264916-25-8 CAPLUS

CN Carbamic acid, [[4-[5-[(4-aminophenyl)methyl]-3-[2-[[(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-

1,5 benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA
 INDEX NAME)

RN 264916-29-2 CAPLUS

CN Carbamic acid, [[4-[5-[(4-bromophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-

1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 264916-30-5 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-[(4-bromophenyl)methyl]-5-[4-[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-2,4-dioxo-, methyl ester (9CI) (CA INDEX NAME)

RN 264916-31-6 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-[(4-bromophenyl)methyl]-5-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-tetrahydro-2,4-dioxo- (9CI) (CA INDEX NAME)

RN 264916-32-7 CAPLUS

CN Carbamic acid, [[4-[5-[(4-bromophenyl)methyl]-3-[2-[[(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-

benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 264916-33-8 CAPLUS

CN Carbamic acid, [[4-[3-[2-[[(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-(3-thienyl)phenyl]methyl]-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

RN 264916-34-9 CAPLUS

CN Carbamic acid, [[4-[3-[2-[[(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-(2-thienyl)phenyl]methyl]-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

S

264916-35-0 CAPLUS

RN

CN Carbamic acid, [[4-[5-([1,1'-biphenyl]-4-ylmethyl)-3-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-

yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 264916-36-1 CAPLUS

CN Carbamic acid, [[4-[5-([1,1'-biphenyl]-4-ylmethyl)-3-[(3,4-dichlorophenyl)methyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-

yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 264916-37-2 CAPLUS

CN Carbamic acid, [[4-[3-[2-[[(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-5-[[4-(phenylmethoxy)phenyl]methyl]-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 264916-38-3 CAPLUS

CN Carbamic acid, [[4-[3-[2-[[(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-5-[(4-hydroxyphenyl)methyl]-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 264916-46-3 CAPLUS

CN Carbamic acid, [1-[4-[5-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]-1-methylethyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 264916-47-4 CAPLUS CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-([1,1'-biphenyl]-4-ylmethyl)-5-

[4-[1-[[(1,1-dimethylethoxy)carbonyl]amino]-1-methylethyl]phenyl]-2,3,4,5tetrahydro-2,4-dioxo-, methyl ester (9CI) (CA INDEX NAME)

RN 264916-48-5 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-([1,1'-biphenyl]-4-ylmethyl)-5-

[4-

[1-[[(1,1-dimethylethoxy)carbonyl]amino]-1-methylethyl]phenyl]-2,3,4,5-tetrahydro-2,4-dioxo- (9CI) (CA INDEX NAME)

RN 264916-49-6 CAPLUS
CN Carbamic acid, [1-[4-[5-([1,1'-biphenyl]-4-ylmethyl)-3-[2-[[(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]-1-methylethyl]-, 1,1-dimethylethyl ester

(9CI)

(CA INDEX NAME)

RN 264916-54-3 CAPLUS

CN Carbamic acid, [[4-[5-([1,1'-biphenyl]-4-ylmethyl)-7-chloro-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 264916-55-4 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetic acid, 5-([1,1'-biphenyl]-4-ylmethyl)-7-chloro-1-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,3,4,5-

tetrahydro-2,4-dioxo-, methyl ester (9CI) (CA INDEX NAME)

RN 264916-56-5 CAPLUS

CN Carbamic acid, [[4-[5-([1,1'-biphenyl]-4-ylmethyl)-7-chloro-3-[2-[[(2-fluorophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2,4-dioxo-1H-

1,5 benzodiazepin-1-yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA
 INDEX NAME)

RN 264916-57-6 CAPLUS
CN Carbamic acid, [[4-[5-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-2,4dioxo-3-[2-oxo-2-(phenylamino)ethyl]-1H-1,5-benzodiazepin-1yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 264916-58-7 CAPLUS
CN Carbamic acid, [[4-[5-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-2,4dioxo-3-[2-oxo-2-[(2-phenylethyl)amino]ethyl]-1H-1,5-benzodiazepin-1yl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 5 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1998:311692 CAPLUS Full-text
- DN 129:108037
- TI Production of novel derivatives of a gastrin antagonist (GW1) using biotransformation
- AU Blackaby, Andrew; Dawson, Michael J.; Hall, Richard M.; Jones, Carol A.; Knaggs, Andrew R.; Marshall, Peter S.; Taylor, Nick L.; Sidebottom, Philip; Webb, Graham
- CS Glaxo Wellcome Research and Development, Medicines Research Centre, Stevenage, SG12NY, UK
- SO Studies in Organic Chemistry (Amsterdam) (1998), 53 (New Frontiers in Screening for Microbial Biocatalysts), 173-176 CODEN: SOCHDQ; ISSN: 0165-3253
- PB Elsevier Science B.V.
- DT Journal
- LA English

GI

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB A range of novel compds. was isolated from cultures of Streptomyces species grown with GW1 (I) substrate. Isolation of the major mammalian metabolite (II) indicated that these cultures effectively mimic mammalian metabolism
- IT 210104-79-3P 210104-80-6P 210104-81-7P 210104-82-8P 210104-84-0P 210104-87-3P

RL: BAC (Biological activity or effector, except adverse); BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); BIOL (Biological study); PREP (Preparation) (production of novel derivs. of a gastrin antagonist (GW1) using biotransformation)

- RN 210104-79-3 CAPLUS
- CN Pyrrolidine, 1-[[3-[[(4-fluorophenyl)amino]carbonyl]amino]-2,3,4,5-tetrahydro-5-(trans-4-hydroxycyclohexyl)-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

- RN 210104-80-6 CAPLUS
- CN Pyrrolidine, 1-[[3-[[(4-fluorophenyl)amino]carbonyl]amino]-2,3,4,5-tetrahydro-5-[(1R,3R)-3-hydroxycyclohexyl]-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 210104-81-7 CAPLUS

CN Pyrrolidine, 1-[[3-[[(4-fluorophenyl)amino]carbonyl]amino]-2,3,4,5-tetrahydro-5-(cis-4-hydroxycyclohexyl)-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 210104-82-8 CAPLUS

CN Pyrrolidine, 1-[[3-[[(4-fluorophenyl)amino]carbonyl]amino]-2,3,4,5-tetrahydro-5-[(1R,3S)-3-hydroxycyclohexyl]-2,4-dioxo-1H-1,5-benzodiazepin-

1-yl]acetyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 210104-84-0 CAPLUS

CN 3-Pyrrolidinol, 1-[[3-[[(4-fluorophenyl)amino]carbonyl]amino]-2,3,4,5-tetrahydro-5-[(1R,3S)-3-hydroxycyclohexyl]-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 210104-87-3 CAPLUS

CN 1H-1,5-Benzodiazepine-1-acetamide, 3-[[(4-fluorophenyl)amino]carbonyl]amino]-2,3,4,5-tetrahydro-N-(4-hydroxybutyl)-5-[(1R,3R)-3-hydroxycyclohexyl]-2,4-dioxo-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:769394 CAPLUS Full-text

DN 128:70387

TI Novel 1,5-benzodiazepines as CCK-B ligands. Effect of aryl-carbamic substituents at the C-3 position together with halogen substitution on

the

benzo-fused ring

- AU Tranquillini, M. Elvira; Cassara, Paolo G.; Corsi, Mauro; Curotto, Giovanni; Donati, Daniele; Finizia, Gabriella; Pentassuglia, Giorgio; Polinelli, Stefano; Tarzia, Giorgio; Ursini, Antonella; Van Amsterdam, Franciscus T. M.
- CS Medicines Research Centre, Glaxo Wellcome S.p.A., Verona, I-37135, Italy
- SO Archiv der Pharmazie (Weinheim, Germany) (1997), 330(11), 353-357 CODEN: ARPMAS; ISSN: 0365-6233
- PB Wiley-VCH Verlag GmbH
- DT Journal
- LA English
- AB The synthesis and biol. evaluation as potential CCK-B receptor ligands of a number of 1-isopentyl-3-aryloxycarbamoyl-5-aryl-1,5-benzodiazepines substituted with halogen atoms on the benzo-fused ring is briefly discussed. The best values of CCK-B affinity and B/A selectivity were observed with compds. bearing a 8-chloro or a 7,8-dichloro substituent. Separation of isomers led to a further improvement in selectivity.
- IT 151620-15-4P 151620-17-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses) (benzodiazepines as CCK-B ligands)

RN 151620-15-4 CAPLUS

CN Carbamic acid, [1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, phenyl ester (9CI) (CA INDEX NAME)

RN 151620-17-6 CAPLUS

CN Carbamic acid, [1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, 3-(dimethylamino)phenyl ester (9CI)

(CA INDEX NAME)

IT 151385-65-8P 151620-60-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT

(Reactant or reagent)

(benzodiazepines as CCK-B ligands)

RN 151385-65-8 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-fluorophenyl)-5-(3-methylbutyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)

RN 151620-60-9 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 7 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1996:591775 CAPLUS Full-text

DN 125:292247

TI Synthesis and structure-activity relationship of new 1,5 benzodiazepine CCK-B antagonists

AU Gaviraghi, G.; Cassara, P.; Corsi, M.; Curotto, G.; Donati, D.; Feriani, A.; Finch, H.; Finizia, G.; Pentassuglia, G.; et al.

CS Glaxo Research Laboratories, Verona, 37135, Italy

Pharmacochemistry Library (1996), 24(Perspectives in Receptor Research), 375-387

CODEN: PHLIDQ; ISSN: 0165-7208

PB Elsevier

DT Journal

LA English

Cholecystokinin (CCK) is one of a number of peptides that act both as AΒ gut hormones and neurotransmitters in the central nervous system (CNS). Through the discovery of selective agonists and antagonists it has been possible to show that CCK acts through at least two receptor subtypes, CCK-A and CCK-B. The former are found mainly in the periphery, while the latter are located extensively in the CNS. Evidence from animal studies have suggested the potential utility of CCK-B antagonists in the treatment of CNS disorders such as anxiety and panic, with a seemingly specific advantage of a better safety profile over marketed anxiolytics, at least on the basis of the preclin. data. The purpose of this study was to identify new CCK-B antagonists endowed with a better pharmacol. profile, both in terms of potency and selectivity, than existing antagonists (e.g. L-365,260). As a result of our studies, a novel series of 1,5-benzodiazepines bearing either ureidic or carbamic sidechains at C-3 were discovered. Amongst the compds. synthesized, GV150013 was a highly potent (pKB = 9.2) and selective CCK-B receptor antagonist. It showed potent anxiolytic activity in a number of animal models and it has been progressed into development.

IT 153929-97-6P 153930-18-8P

RL: BAC (Biological activity or effector, except adverse); BPR (Biological

process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)

(preparation and cholecystokinin antagonist structure-activity relations and

anxiolytic activity of benzodiazepines)

RN 153929-97-6 CAPLUS

Urea, N-[3-(dimethylamino)phenyl]-N'-[1-(2-fluorophenyl)-2,3,4,5tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI)
(CA INDEX NAME)

RN 153930-18-8 CAPLUS CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

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1995:998360 CAPLUS Full-text
AN
     124:202316
DN
     Preparation of cholecystokinin and gastrin receptor-antagonist
ΤI
     1,5-benzodiazepindiones
     Aquino, Christopher Joseph; Dezube, Milana; Henke, Brad Richard;
IN
     Marcus; Jeffs, Peter Walter; Suh, Edward Martin; Hirst, Gavin Charles;
     Sugg, Elizabeth Ellen; Willson, Timothy Mark; Momtahen, Tanya
     Glaxo Wellcome Inc., USA
PA
     PCT Int. Appl., 191 pp.
     CODEN: PIXXD2
     Patent
DT
LΑ
     English
FAN.CNT 1
                                           APPLICATION NO. DATE
     PATENT NO.
                      KIND DATE
                                           _____
                                                            _____
                      A1
                            19951026
                                           WO 1995-EP1336
                                                            19950413
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             LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
             SN, TD, TG
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                            19951026
                                           AU 1995-23062
                                                             19950413
     AU 9523062
                       Α1
                            19951110
                                           ZA 1995-3056
                                                             19950413
     ZA 9503056
                       A
                            19960130
                                           EP 1995-916630
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                       A1
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                            19990112
                            19940415
PRAI GB 1994-7467
                            19941014
     GB 1994-20700
                            19950413
     WO 1995-EP1336
OS
     MARPAT 124:202316
GΙ
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ANSWER 8 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

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The title compds [I; R1 = alkyl, cycloalkyl, (un) substituted Ph; R2 = alkyl, cycloalkyl, alkenyl, benzyl, (un) substituted Ph, etc.; NR1R2 = (un) substituted 1,2,3,4-tetrahydroquinoline or benzazepine p, q, r, t = 0, 1; R5, R6 = hydrogen or alkyl; R4 = alkyl or alkenyl; R7 = hydrogen, alkyl, cycloalkyl, alkenyl, (un) substituted Ph, naphthyl, (un) substituted heteroaryl, etc.; NR6R7 = saturated (un) substituted 5-7-membered ring optionally interrupted by 1-4 N, S or O heteroatoms; m = 0-4; R8, R9 = H, alkyl, alkenyl, halogen, CN, etc.; Y, Z = hydrogen, halogen], useful for treating cholecystokinin- and gastrin-moderated diseases, are prepared and a I-containing formulation presented.

IT 174181-78-3P 174182-18-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of cholecystokinin and gastrin receptor-antagonist 1,5-benzodiazepindiones)

RN 174181-78-3 CAPLUS

CN 1H-1,5-Benzodiazepine-1,3-diacetamide, 5-(4-chlorophenyl)-2,3,4,5-tetrahydro-3-methyl-N1-(1-methylethyl)-2,4-dioxo-N1,N3-diphenyl- (9CI) (CA INDEX NAME)

RN 174182-18-4 CAPLUS

CN 1H-1,5-Benzodiazepine-1-acetamide, 5-(3-fluorophenyl)-2,3,4,5-tetrahydro-3-

(1H-indazol-3-ylmethyl)-N-(4-methoxyphenyl)-N-(1-methylethyl)-2,4-dioxo-(9CI) (CA INDEX NAME)

IT 174180-29-1P 174180-44-0P 174181-34-1P 174181-35-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of cholecystokinin and gastrin receptor-antagonist 1,5-benzodiazepindiones)

RN 174180-29-1 CAPLUS

CN 1H-1,5-Benzodiazepine-1-acetamide, 5-(4-chlorophenyl)-2,3,4,5-tetrahydro-N-

(4-methoxyphenyl)-3-methyl-N-(1-methylethyl)-2,4-dioxo-3-(2-propenyl)-(9CI) (CA INDEX NAME)

PAGE 1-A

RN 174180-44-0 CAPLUS

CN 1H-1,5-Benzodiazepine-3-acetic acid, 1-(4-chlorophenyl)-2,3,4,5-

tetrahydro-

5-[2-[(4-methoxyphenyl)(1-methylethyl)amino]-2-oxoethyl]-3-methyl-2,4-dioxo-(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

CN 1H-Indazole-1-carboxylic acid, 3-[[1-(3-fluorophenyl)-2,3,4,5-tetrahydro-5-

[2-[(4-methoxyphenyl)(1-methylethyl)amino]-2-oxoethyl]-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 174181-35-2 CAPLUS

CN 1H-1,5-Benzodiazepine-1-acetamide, 5-(3-fluorophenyl)-2,3,4,5-tetrahydro-N-

(4-methoxyphenyl)-N-(1-methylethyl)-2,4-dioxo- (9CI) (CA INDEX NAME)

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ANSWER 9 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
L7
     1995:491901 CAPLUS Full-text
AN
     122:239728
DΝ
     Preparation of 1,5-benzodiazepine derivatives as cholecystokinin and/or
TI
     gastrin antagonists
     Finch, Harry; Shah, Pritom; Carr, Robin Arthur
IN
     Glaxo Inc., USA
PA
     PCT Int. Appl., 41 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 2
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                       Α
                                                            19951030
                                           US 1995-522363
                            19961217
     US 5585376
                       Α
PRAI GB 1993-7833
                       Α
                            19930415
                            19940329
     GB 1994-6037
                       Α
                       W
                            19940413
     WO 1994-EP1130
     MARPAT 122:239728
OS
GΙ
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AB Title compds. [I; NR1R2 = (Me-substituted) 5-7 membered saturated heterocyclyl; R3 = C1-6 alkyl, C3-6 cycloalkyl, (halo-substituted) Ph; R4 = (substituted) Ph; X = H, C1-4 alkyl, halo; m = 0-2], were prepared Thus, 2-(2-cyclohexylaminophenylamino)-1-pyrrolidin-1-ylethanone (preparation given) in THF and 2-(phenylhydrazono)propanedioyl chloride in THF were added simultaneously to THF at -10°; the mixture was allowed

Ι

to warm to room temperature and stir for 3 h to give 1-cyclohexy1-5-(2-oxo-2-pyrrolidin-1- ylethy1)-3-(phenylhydrazono)-1,5-dihydrobenzo[b][1,4]diazepin-2,4-dione. This in HOAc was added to a mixture of HOAc and Zn dust to give 3-amino-1-cyclohexy1-5-(2-oxo-2-pyrrolidin-1-ylethy1)-1,5-dihydrobenzo[b][1,4]diazepin-2,4-dione. The amine in CH2Cl2 was treated with 4-fluorophenyl isocyanate to give 1-[1-cyclohexy1-2,4-dioxo-5-(2-oxo-2-pyrrolidin-1-ylethy1)-2,3,4,5-tetrahydro-1H-benzo[b][1,4]diazepin-3-yl]-3-(4-fluorophenyl)urea. The latter showed CCK-B receptor binding affinity with pKi = 8.5.

IT 162271-56-9P 162271-57-0P 162271-70-7P 162271-71-8P 162271-72-9P 162271-73-0P 162271-74-1P 162271-75-2P 162271-76-3P 162271-77-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 1,5-benzodiazepine derivs. as cholecystokinin and/or gastrin

antagonists)

RN 162271-56-9 CAPLUS

CN Benzeneacetic acid, 3-[[[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-

5-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-1,5-benzodiazepin-3-yl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 162271-57-0 CAPLUS

CN Benzoic acid, 3-[[[[1-[2-(3,3-dimethyl-1-piperidinyl)-2-oxoethyl]-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 162271-70-7 CAPLUS
CN Pyrrolidine, 1-[[5-(2-fluorophenyl)-3-[[[(4-fluorophenyl)amino]carbonyl]am
ino]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl](9CI)
(CA INDEX NAME)

RN 162271-71-8 CAPLUS
CN Pyrrolidine, 1-[[5-(2-fluorophenyl)-2,3,4,5-tetrahydro-3-[[[(3-methylphenyl)amino]carbonyl]amino]-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl]- (9CI) (CA INDEX NAME)

RN 162271-72-9 CAPLUS
CN Benzoic acid, 3-[[[[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-5[2oxo-2-(1-pyrrolidinyl)ethyl]-1H-1,5-benzodiazepin-3yl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 162271-73-0 CAPLUS
CN Piperidine, 1-[[5-(2-fluorophenyl)-3-[[[(4-fluorophenyl)amino]carbonyl]ami
no]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl]-3,3-dimethyl- (9CI) (CA INDEX NAME)

RN 162271-74-1 CAPLUS

CN Piperidine, 1-[[5-(2-fluorophenyl)-3-[[[(4-

fluorophenyl)amino]carbonyl]ami

no]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl]-4,4-dimethyl- (9CI) (CA INDEX NAME)

RN 162271-75-2 CAPLUS

CN Pyrrolidine, 1-[[5-(2-fluorophenyl)-3-[[[(4-

fluorophenyl)amino]carbonyl]am

ino]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 162271-76-3 CAPLUS

CN Piperidine, 1-[[5-(2-fluorophenyl)-3-[[[(4-

fluorophenyl)amino]carbonyl]ami

no]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)

RN 162271-77-4 CAPLUS

CN 1H-Azepine, 1-[[5-(2-fluorophenyl)-3-[[[(4-

fluorophenyl)amino]carbonyl]ami

no]-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-1-

yl]acetyl]hexahydro- (9CI) (CA INDEX NAME)

IT 162271-84-3P 162271-85-4P 162271-86-5P 162271-88-7P 162271-89-8P 162271-90-1P 162271-91-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of 1,5-benzodiazepine derivs. as cholecystokinin and/or gastrin

antagonists)

RN 162271-84-3 CAPLUS

CN Pyrrolidine, 1-[[5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-3-(phenylhydrazono)-1H-1,5-benzodiazepin-1-yl]acetyl]- (9CI) (CA INDEX NAME)

RN 162271-85-4 CAPLUS

CN Pyrrolidine, 1-[[3-amino-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-

1H-1,5-benzodiazepin-1-yl]acetyl]- (9CI) (CA INDEX NAME)

RN 162271-86-5 CAPLUS

CN Benzeneacetic acid, 3-[[[[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-

5-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-1,5-benzodiazepin-3-yl]amino]carbonyl]amino]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 162271-88-7 CAPLUS

CN Piperidine, 1-[[5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-3-(phenylhydrazono)-1H-1,5-benzodiazepin-1-yl]acetyl]-3,3-dimethyl- (9CI) (CA INDEX NAME)

RN 162271-89-8 CAPLUS

CN Piperidine, 1-[[3-amino-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-

1H-

1,5-benzodiazepin-1-yl]acetyl]-3,3-dimethyl- (9CI) (CA INDEX NAME)

RN 162271-90-1 CAPLUS

CN Benzoic acid, 3-[[[[1-[2-(3,3-dimethyl-1-piperidinyl)-2-oxoethyl]-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]amino]carbonyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN

162271-91-2 CAPLUS
Pyrrolidine, 1-[[5-(2-fluorophenyl)-2,3,4,5-tetrahydro-3-isocyanato-2,4-dioxo-1H-1,5-benzodiazepin-1-yl]acetyl]- (9CI) (CA INDEX NAME) CN

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ANSWER 10 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
L7
    1995:339473 CAPLUS Full-text
ΑN
DN
    122:105935
    Preparation of 3-phenylureido-2,3,4,5-tetrahydro-1,5-benzodiazepine-2,4-
TI
    diones useful as gastrin or cck antagonists.
    Finch, Harry; Trist, David Gordon; Feriani, Aldo; Tarzia, Giorgio; Shah,
IN
    Pritom
     Glaxo SpA, Italy
PA
     PCT Int. Appl., 36 pp.
SO
     CODEN: PIXXD2
     Patent
DT
LΑ
    English
FAN.CNT 1
                                          APPLICATION NO.
                                                           DATE
     PATENT NO.
                     KIND DATE
     ______
                                                           _____
                           ______
                                          _____
    WO 9425444
                      Α1
                           19941110
                                          WO 1994-EP1252
                                                           19940422
PΙ
         W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE,
             HU, JP, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ,
             PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
             BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
     AU 9466468
                           19941121
                                         AU 1994-66468
                                                           19940422
                      A1
                           19960228
                                          EP 1994-915089
                                                           19940422
     EP 698014
                      Α1
                      В1
                           19980722
     EP 698014
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,
SE
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JP 1994-523841

AT 1994-915089

US 1995-532811

19940422

19940422

19951023

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19961001

19980815

19970624

19930423

19940422

JP 08509236

AT 168681

PRAI GB 1993-8431

OS

GΙ

US 5641775

WO 1994-EP1252

MARPAT 122:105935

Title compds. [I; R1 = Ph, (bridged) cycloalkyl, (substituted) alkyl; R2 = NR4SO2CF3, SO2NR4COR5, CONR4SO2R5, (alkyl-substituted) tetrazolyl, carboxamidotetrazolyl, 3-trifluoromethyl-1,2,4-triazolyl; R3 = (halosubstituted) Ph; R4 = H, alkyl; R5 = alkyl; R6 = H, halo; m = 0-2; n = 0, 1], were prepared Thus, triphosgene and then Et3N were added to acetic acid, 3-(tetrazolyl)anilinium salt (preparation given) in THF; an enantiomer of 3-amino-1-cyclopentylethyl-2,4-dioxo-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine (preparation given) in THF was added followed by 3 h stirring to give (+)-N-[1-(cyclopentylethyl)-2,4-

dioxo-5-(2-fluorophenyl)- 2,3,4,5-tetrahydro-1H-benzodiazepin-3-yl]-N'-(3-tetrazolyl)phenylurea. This showed pKi = 6.63 and 9.98 for binding to CCK-A (pancreas) and CCK-B (guinea pig cortex) receptors, resp.

IT 160752-72-7P 160752-73-8P 160752-74-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-phenylureido-2,3,4,5-tetrahydro-1,5-benzodiazepine-2,4-

diones useful as gastrin or cck antagonists)

RN 160752-72-7 CAPLUS

CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-

dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[3-(1H-tetrazol-5-yl)phenyl]-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

RN 160752-73-8 CAPLUS

CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-

dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[3-(1H-tetrazol-5-yl)phenyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN160752-74-9 CAPLUS Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-CN2,4dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[3-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

160752-80-7P 160752-81-8P IT

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of 3-phenylureido-2,3,4,5-tetrahydro-1,5-benzodiazepine-2,4-

diones useful as gastrin or cck antagonists)

160752-80-7 CAPLUS RN

1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-cyclopentylethyl)-CN 5-(2-fluorophenyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

160752-81-8 CAPLUS RN1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-cyclopentylethyl)-CN

5-

(2-fluorophenyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

IT 151386-29-7P 151620-69-8P 160752-83-0P 160752-84-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT

(Reactant or reagent)

(preparation of 3-phenylureido-2,3,4,5-tetrahydro-1,5-benzodiazepine-

2,4-

diones useful as gastrin or cck antagonists)

RN 151386-29-7 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)

RN 151620-69-8 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-cyclopentylethyl)-

5-

(2-fluorophenyl) - (9CI) (CA INDEX NAME)

RN 160752-83-0 CAPLUS CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1R)-

compd. with 3-amino-1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-1H-1,5-benzodiazepine-2,4(3H,5H)-dione (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151620-69-8 CMF C22 H24 F N3 O2

CM 2

CRN 35963-20-3 CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (-).

RN 160752-84-1 CAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1S)-

compd. with 3-amino-1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-1H-1,5-benzodiazepine-2,4(3H,5H)-dione (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151620-69-8

CMF C22 H24 F N3 O2

CM 2

CRN 3144-16-9

CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (+).

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1994:483389 CAPLUS Full-text
ΑN
    121:83389
DN
    Preparation of 3-ureido-2,4-dioxotetrahydro-1,5-benzodiazepines as CCK
TI
and
     gastrin antagonists
    Finch, Harry; Trist, David; Tarzia, Giorgio; Feriani, Aldo
IN
    Glaxo S.p.A., Italy
PΆ
SO
     Eur. Pat. Appl., 46 pp.
     CODEN: EPXXDW
DT
     Patent
     English
LΑ
FAN.CNT 2
                                        APPLICATION NO.
                                                         DATE
     PATENT NO.
                    KIND DATE
                    _____
                                        _____
                                         EP 1993-200097
                                                         19930115
                    A1
                          19930901
     EP 558104
PI
                    В1
                          19980729
     EP 558104
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,
SE
    AT 169007
                           19980815
                                         AT 1993-200097
                                                         19930115
                      Ε
                      Т3
                          19981216
                                         ES 1993-200097
                                                         19930115
     ES 2121925
                          19970610
                                         US 1996-674259
                                                         19960701
     US 5637697
                      A
                          19920121
PRAI GB 1992-1180
                    Α
                          19921017
     GB 1992-21847
                     A.
     US 1994-256359
                     A3
                          19940720
     MARPAT 121:83389
OS
GΙ
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ANSWER 11 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

$$\begin{array}{c|c} R^8m & \begin{array}{c} R^1 \\ N \\ R^3 \end{array} \end{array} \begin{array}{c} O \\ NHR \end{array}$$

ь7

Title compds. [I; R = CONHR2; R1 = Ph, (cyclo)alkyl, etc.; R2 = AB (substituted) Ph; R3 = (halo)phenyl; R8 = H, halo; m = 0-2] were prepared Thus, 2-FC6H4NHC6H4(NH2)-2 was N-alkylated by BrCH2CH2CHMe2 and the product cyclocondensed with (ClCO)2C:NNHPh to give, in 2 addnl. steps, I (R1 = CH2CH2CHMe2, R3 = C6H4F-2, R8 = H) (II; R = CO2Ph) which was condensed with 3-(Me2N)C6H4NH2 to give II [R = CONHC6H4(NMe2)-3]. The later had pks of 5.5 and 10.1 for CCK-A and CCK-B receptor antagonism, resp. 151385-65-8P 151385-68-1P 151385-75-0P IT 151386-23-1P 151386-29-7P 151386-44-6P 151620-15-4P 151620-16-5P 151620-60-9P 151620-61-0P 151620-69-8P 151620-77-8P 151910-78-0P 153930-31-5P 153930-32-6P 153930-51-9P 153930-53-1P 153930-54-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

(Reactant or reagent)

RACT

(preparation and reaction of, in preparation of CCK and gastrin antagonist)

RN 151385-65-8 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-fluorophenyl)-5-(3-methylbutyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)

RN 151385-68-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)

RN 151385-75-0 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(2-hydroxy-3,3-dimethylbutyl)- (9CI) (CA INDEX NAME)

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 151386-29-7 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)

RN 151386-44-6 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 7-fluoro-5-(4-fluorophenyl)-1-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)

RN 151620-15-4 CAPLUS
CN Carbamic acid, [1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, phenyl ester (9CI) (CA INDEX NAME)

RN 151620-16-5 CAPLUS
CN Carbamic acid, [1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, phenyl ester (9CI)
(CA
INDEX NAME)

RN 151620-60-9 CAPLUS CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)- (9CI) (CA INDEX NAME)

RN 151620-61-0 CAPLUS CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(3,3-dimethylbutyl)-5-(2fluorophenyl)- (9CI) (CA INDEX NAME)

RN 151620-69-8 CAPLUS CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-cyclopentylethyl)-5-(2-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 151620-77-8 CAPLUS CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-7-fluoro-5-(4fluorophenyl)-1-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

RN 151910-78-0 CAPLUS
CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-7-fluoro-5-(4-fluorophenyl)-1-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 153930-31-5 CAPLUS CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-(2-fluorophenyl)-3-isocyanato-5-(3-methylbutyl)- (9CI) (CA INDEX NAME)

RN 153930-32-6 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-fluorophenyl)-5-(2-hydroxy-3,3-dimethylbutyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)

RN 153930-51-9 CAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1R)-

compd. with 3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)-1H-1,5-benzodiazepine-2,4(3H,5H)-dione (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151620-60-9

CMF C20 H22 F N3 O2

CM 2

CRN 35963-20-3

CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (-).

RN 153930-53-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-3-isocyanato- (9CI) (CA INDEX NAME)

RN 153930-54-2 CAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1R)-

compd. with 3-amino-7-fluoro-5-(4-fluorophenyl)-1-(tricyclo[3.3.1.13,7]dec-

1-ylmethyl)-1H-1,5-benzodiazepine-2,4(3H,5H)-dione (1:1) (9CI) (CA INDEX

NAME)

CM 1

CRN 151620-77-8

CMF C26 H27 F2 N3 O2

$$H_2N$$
 CH_2
 F

CM 2

CRN 35963-20-3 CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (-).

151386-78-6P 153929-94-3P 153929-95-4P
153929-96-5P 153929-97-6P 153929-98-7P
153929-99-8P 153930-00-8P 153930-18-8P
153930-19-9P 153930-29-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as CCK and gastrin antagonist)
RN 151386-78-6 CAPLUS

Urea, N-[3-(dimethylamino)phenyl]-N'-[(3S)-1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 153929-94-3 CAPLUS

CN Urea, N-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-

1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

RN 153929-95-4 CAPLUS

CN Urea, N-[1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-

dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

RN 153929-96-5 CAPLUS

CN Urea, N-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-

1H-1,5-benzodiazepin-3-yl]-N'-[3-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 153929-97-6 CAPLUS

Urea, N-[3-(dimethylamino)phenyl]-N'-[1-(2-fluorophenyl)-2,3,4,5tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)

RN 153929-98-7 CAPLUS

CN Urea, N-[1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-

2,4dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[3-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 153929-99-8 CAPLUS

Urea, N-[3-(dimethylamino)phenyl]-N'-[1-(3,3-dimethylbutyl)-5-(2fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl](9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{Me2N} & & & \\ & & & \\ & & & \\ \text{CH2-CH2-CMe3} \end{array}$$

RN 153930-00-8 CAPLUS
CN Urea, N-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(2-hydroxy-3,3-dimethylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI)
(CA INDEX NAME)

RN 153930-18-8 CAPLUS CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

RN 153930-19-9 CAPLUS
CN Urea, N-[1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro2,4dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[3-(dimethylamino)phenyl]- (9CI)
(CA
INDEX NAME)

RN 153930-29-1 CAPLUS

CN Urea, N-[3-(dimethylamino)phenyl]-N'-[7-fluoro-5-(4-fluorophenyl)-2,3,4,5-

tetrahydro-2,4-dioxo-1-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)

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L7 ANSWER 12 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
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AN 1994:164241 CAPLUS Full-text

DN 120:164241

TI Preparation of 1H-1,5-benzodiazepinecarbamates and their use as gastrins and cholecystokinin antagonists

IN Trist, David; Pentassuglia, Giorgio; Tranquillini, Maria Elvira; Ursini, Antonella

PA Glaxo S.p.A., Italy

SO PCT Int. Appl., 75 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

GΙ

PATENT NO.					KI	1D 1	D DATE			APPLICATION NO.					DATE			
ΡΙ	WO	WO 9314075			A1 19930722			WO 1993-EP99					1993	0115				
		RW:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,
										GN,								
	ΑU				A1 19930803				AU	19	93-3							
	ΕP	623118			A1 19941109				EP	93-9	0222	2	19930115					
	ΕP	6231	18		B	1 :	1998	0513										
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	IT,	LI,	LU,	MC,	NL,	PT,
SE																		
	JP	0750	3710		T2	2	1995	0420		JP	19	93-5	1215	7	1993	0115		
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	ES	2118	221		T	3	1998	0916		ES	19	93-9	0222	2	1993	0115		
	ZA	9300	376		Α		1993	0908							1993			
	CN	1077	952		Α		1993	1103		CN	19	93-1	0206	7	1993	0120		
	US	5486	514		Α		1996	0123		US	19	94-2	5635	8	1994	0720		
PRAI	GB	1992	-118	1			1992	0121										
	WO	1993	-EP9	9			1993	0115										
os	MAJ	RPAT 120:164241																

$$(R^{10})$$
 m N^{10} NHCO₂R²

Title compds. I (R1 = Ph, C3-7 cycloalkyl, bridged C7-11 cycloalkyl, (substituted) C1-6 alkyl, R4YX wherein X = C1-3 alkylene, Y = C0, (R50)C, (R5S)2C R5 not defined, R4 = C1-6 alkyl, (substituted) Ph, C3-7 cycloalkyl, bridged C7-11 cycloalkyl; R2, R3 = (substituted) Ph; R10 = H, halo, m = 0-2), salts and solvates thereof, are prepared Pyridine and ClCO2Ph were added to 3-amino-2,4-dioxo-1-(3-methylbut-1-yl)-5-phenyl- 2,3,4,5-tetrahydro-1H,1,5-benzodiazepine (preparation given) to give I (R1 = 3-methylbut-1-yl), R2 = R3 = Ph, (R10)m = H) which showed pKi = 5.29 and 7.67 binding affinity for CCK-A and CCK-B receptors, resp. Addnl. compds. were prepared and tested. Pharmaceutical formulations comprising I are given.

151385-65-8P 151385-68-1P 151386-29-7P

151386-44-6P 151620-60-9P 151620-61-0P

151620-69-8P 151620-77-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation and reaction of, in preparation of CCK and gastrin antagonists)

RN 151385-65-8 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-fluorophenyl)-5-(3-methylbutyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)

RN 151385-68-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)

RN 151386-29-7 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)

RN 151386-44-6 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 7-fluoro-5-(4-fluorophenyl)-1-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)

RN 151620-60-9 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)- (9CI) (CA INDEX NAME)

RN 151620-61-0 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(3,3-dimethylbutyl)-5-

$$\begin{array}{c} \text{Me}_{3}\text{C}-\text{CH}_{2}-\text{CH}_{2} \\ \text{O} \\ \text{H}_{2}\text{N} \\ \end{array}$$

RN 151620-69-8 CAPLUS CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-cyclopentylethyl)-5-(2-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 151620-77-8 CAPLUS
CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-7-fluoro-5-(4-fluorophenyl)-1-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

$$H_{2N}$$
 H_{2N}
 H_{2N}
 H_{2N}

151620-15-4P 151620-16-5P 151620-17-6P 151620-18-7P 151620-22-3P 151620-31-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as CCK and gastrin antagonists)

RN 151620-15-4 CAPLUS

CN Carbamic acid, [1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, phenyl ester (9CI) (CA INDEX NAME)

RN 151620-16-5 CAPLUS

CN Carbamic acid, [1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, phenyl ester (9CI) (CA INDEX NAME)

RN 151620-17-6 CAPLUS

CN Carbamic acid, [1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, 3-(dimethylamino)phenyl ester (9CI)(CA INDEX NAME)

RN 151620-18-7 CAPLUS

CN Carbamic acid, [1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, 3-(dimethylamino)phenyl ester (9CI) (CA INDEX NAME)

RN 151620-22-3 CAPLUS

CN Carbamic acid, [1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, phenyl ester (9CI) (CA INDEX NAME)

RN 151620-31-4 CAPLUS

CN Carbamic acid, [7-fluoro-5-(4-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-1H-1,5-benzodiazepin-3-yl]-, 3-(dimethylamino)phenyl ester (9CI) (CA INDEX NAME)

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ANSWER 13 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
L7
    1994:134541 CAPLUS Full-text
ΑN
    120:134541
DN
    1,5-Benzodiazepine-2,4-dione gastrin and cholecystokinin-B receptor
TI
    antagonists
    Finch, Harry; Trist, David Gordon; Tarzia, Giorgio; Feriani, Aldo
ΙN
    Glaxo S.p.A., Italy
PA
    PCT Int. Appl., 84 pp.
SO
    CODEN: PIXXD2
DT
    Patent
LА
    English
FAN.CNT 2
                    KIND DATE
                                        APPLICATION NO. DATE
    PATENT NO.
                    ____
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                                       WO 1993-EP98
                    A1 19930722
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        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
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PRAI GB 1992-1180
                     Α
     WO 1993-EP98
                     Α
                          19930119
     US 1994-256359
                     A3
                         19940720
OS
     MARPAT 120:134541
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Т

GΙ

The title compds. I [R1 = Ph, C3-7 cycloalkyl, C7-11 bridged cycloalkyl, (un) substituted C1-6 alkyl; R2 = (un) substituted Ph; R3 = Ph optionally substituted by 1-2 halogen atoms; R8 = H, halogen; m = 0-2], which are gastrin and cholecystokinin B receptor antagonists, are prepared and I-containing formulations presented. Thus, 3-(dimethylamino) aniline dihydrochloride was reacted with 2,4-dioxo-5-(2-fluorophenyl)-1-(3-methylbut-1-yl)-3-(phenyloxycarbonylamino)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine, producing N-[2,4-dioxo-5-(2-fluorophenyl)-1-(3-methylbut-1-yl)-2,3,4,5-tetrahyro-1H-1,5-benzodiazepin-3-yl]-N'-(3-dimethylamino) phenylurea (II). II demonstrated cholecystokinin B receptor binding affinity (G. Dal Forno et al.) of pKi 9.6.

IT 151386-78-6 153929-97-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(gastrin and cholecystokinin B receptor antagonist activity of)

RN 151386-78-6 CAPLUS

Urea, N-[3-(dimethylamino)phenyl]-N'-[(3S)-1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 153929-97-6 CAPLUS

Urea, N-[3-(dimethylamino)phenyl]-N'-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)

IT 151386-52-6P 151386-54-8P 151386-56-0P 151386-57-1P 151386-58-2P 151386-78-6P 151386-81-1P 151386-82-2P 153929-94-3P 153929-95-4P 153929-97-6P 153929-99-8P

153930-29-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and gastrin and cholecystokinin B receptor antagonist activities of)

RN 151386-52-6 CAPLUS

CN Urea, N-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-

1H-1,5-benzodiazepin-3-yl]-N'-(3-mercaptophenyl)- (9CI) (CA INDEX NAME)

RN 151386-54-8 CAPLUS

CN Urea, N-[1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-

2,4dioxo-1H-1,5-benzodiazepin-3-yl]-N'-(3-mercaptophenyl)- (9CI) (CA INDEX NAME)

HS
$$NH = 0$$
 $NH = 0$ $NH = 0$

RN 151386-56-0 CAPLUS

CN Urea, N-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(2-hydroxy-3-methylbutyl)-

2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Relative stereochemistry.

RN 151386-78-6 CAPLUS

Urea, N-[3-(dimethylamino)phenyl]-N'-[(3S)-1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 151386-81-1 CAPLUS CN Urea, N-[1-(3-cyclopentylpropyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-

dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

RN 151386-82-2 CAPLUS
CN Urea, N-[1-(3-cyclopentylpropyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro2,4dioxo-1H-1,5-benzodiazepin-3-yl]-N'-[4-(dimethylamino)phenyl]- (9CI)
(CA
INDEX NAME)

RN 153929-94-3 CAPLUS
CN Urea, N-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4dioxo1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

RN 153929-95-4 CAPLUS
CN Urea, N-[1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro2,4dioxo-1H-1,5-benzodiazepin-3-yl]-N'-phenyl- (9CI) (CA INDEX NAME)

RN 153929-97-6 CAPLUS

CN Urea, N-[3-(dimethylamino)phenyl]-N'-[1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)

RN 153929-99-8 CAPLUS

CN Urea, N-[3-(dimethylamino)phenyl]-N'-[1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-(9CI) (CA INDEX NAME)

RN 153930-29-1 CAPLUS

CN Urea, N-[3-(dimethylamino)phenyl]-N'-[7-fluoro-5-(4-fluorophenyl)-2,3,4,5-

tetrahydro-2,4-dioxo-1-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-1H-1,5-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ \end{array}$$

ΙT 151385-65-8P 151385-68-1P 151385-75-0P 151385-76-1P 151385-77-2P 151386-23-1P 151386-29-7P 151386-44-6P 151620-15-4P 151620-16-5P 151620-60-9P 151620-61-0P 151620-69-8P 151620-77-8P 151864-41-4P 151910-79-1P 153930-31-5P 153930-32-6P 153930-53-1P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reaction of, in preparation of gastrin and cholecystokinin B receptor antagonist) 151385-65-8 CAPLUS RN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-fluorophenyl)-5-(3-fluorophenyl)CN methylbutyl) -, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)

RN 151385-68-1 CAPLUS
CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)

RN 151385-75-0 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(2-hydroxy-3,3-dimethylbutyl)- (9CI) (CA INDEX NAME)

RN 151385-76-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(2-hydroxy-3,3-dimethylbutyl)-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 151385-77-2 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(2-hydroxy-3,3-dimethylbutyl)-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 151386-23-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 151386-29-7 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)

RN 151386-44-6 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 7-fluoro-5-(4-fluorophenyl)-1-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)

RN 151620-15-4 CAPLUS

CN Carbamic acid, [1-(2-fluorophenyl)-2,3,4,5-tetrahydro-5-(3-methylbutyl)-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, phenyl ester (9CI) (CA INDEX NAME)

RN 151620-16-5 CAPLUS

CN Carbamic acid, [1-(3,3-dimethylbutyl)-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-1,5-benzodiazepin-3-yl]-, phenyl ester (9CI)

(CA INDEX NAME)

RN 151620-60-9 CAPLUS CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-fluorophenyl)-5-(3-methylbutyl)- (9CI) (CA INDEX NAME)

RN 151620-61-0 CAPLUS CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(3,3-dimethylbutyl)-5-(2fluorophenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me}_3\text{C}-\text{CH}_2-\text{CH}_2 \\ \\ \text{O} \\ \\ \text{H}_2\text{N} \\ \end{array}$$

RN 151620-69-8 CAPLUS CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-1-(2-cyclopentylethyl)-5-(2-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 151620-77-8 CAPLUS
CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-amino-7-fluoro-5-(4-fluoronbonyl)-1-(trigualo[3,3,1,13,7]dec-1-ylmethyl)- (9CI) (9CI)

fluorophenyl)-1-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

RN 151864-41-4 CAPLUS CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1R)-

compd. with (R)-3-amino-1-(2-fluorophenyl)-5-(1-methylethyl)-1H-1,5-benzodiazepine-2,4(3H,5H)-dione (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151864-40-3 CMF C18 H18 F N3 O2

Absolute stereochemistry.

CM 2

CRN 35963-20-3 CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (-).

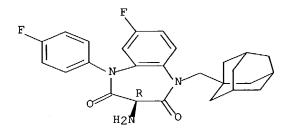
RN 151910-79-1 CAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1R)-, compd. with (R)-3-amino-7-fluoro-5-(4-fluorophenyl)-1- (tricyclo[3.3.1.13,7]dec-1-ylmethyl)-1H-1,5-benzodiazepine-2,4(3H,5H)-dione (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151910-78-0 CMF C26 H27 F2 N3 O2

Absolute stereochemistry.



CM 2

CRN 35963-20-3 CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (-).

RN 153930-31-5 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-(2-fluorophenyl)-3-isocyanato-5-(3-methylbutyl)- (9CI) (CA INDEX NAME)

RN 153930-32-6 CAPLUS

CN 1H-1,5-Benzodiazepine-2,3,4(5H)-trione, 1-(2-fluorophenyl)-5-(2-hydroxy-3,3-dimethylbutyl)-, 3-(phenylhydrazone) (9CI) (CA INDEX NAME)

RN 153930-53-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-(2-cyclopentylethyl)-5-(2-fluorophenyl)-3-isocyanato- (9CI) (CA INDEX NAME)

L7 ANSWER 14 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1986:520760 CAPLUS Full-text

DN 105:120760

TI Diazepine-fused ring compounds as platelet activating-factor antagonists

IN Casals-Stenzel, Jorge; Weber, Karl Heinz; Walther, Gerhard; Harreus,
 Albrecht; Muacevic, Gojko

PA Boehringer Ingelheim K.-G., Fed. Rep. Ger.

SO Ger. Offen., 24 pp. CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

T 7 1	14.0141 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 3435972	A1	19860410	DE 1984-3435972	19841001
	EP 176929	A2	19860409	EP 1985-112077	19850924
	EP 176929	A3	19900905		
	R: AT, BE,	CH, DE	, FR, GB, IT,	LI, LU, NL, SE	
	JP 61087624	A2	19860506	JP 1985-217777	19850930
	ZA 8507519	Α	19870624	ZA 1985-7519	19850930
	US 4622319	Α	19861111	US 1985-782631	19851001
PR	AI DE 1984-3435972		19841001		

GI For diagram(s), see printed CA Issue.

Platelet activating factor (PAF) antagonists I and II [A = (un)substituted Ph, pyridinyl, thiofuranyl, pyrazinyl, pyrazolyl; B = (un)substituted pyrazolyl, triazolyl, tetrazolyl; Y = CO, CS, CH2; R1,R2 = H, (un)substituted alkyl, alkenyl, alkinyl; R = (un)substituted Ph] are useful for the treatment of PAF-dependent diseases such as tracheobronchitis, asthma, anaphylaxis, allergies, and mucosa inflammation. Thus, I and II were effective in inhibition of bronchocontraction induced by PAF at 1-50 mg/kg p.o. and 0.1-1.0 mg/kg i.v. A tablet was formulated containing I or II 0.05, stearic acid 0.01, and dextrose 1.890 parts.

IT 104286-81-9

RL: BIOL (Biological study)
 (platelet activating factor antagonist)

RN 104286-81-9 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 5-(2-chlorophenyl)-1-methyl-7-nitro-(9CI) (CA INDEX NAME)

L7 ANSWER 15 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1980:625700 CAPLUS Full-text

DN 93:225700

TI Clobazam (Frisium). A contribution to the analysis of a psychopharmacological agent

AU Eiden, Fritz; Schmiz, Elisabeth

CS Inst. Pharm. Lebensmittelchem., Ludwig-Maximilians-Univ., Munich, Fed. Rep. Ger.

SO Deutsche Apotheker Zeitung (1980), 120(21), 933-7 CODEN: DAZEA2; ISSN: 0011-9857

DT Journal

LA German

GΙ

AB The spectral and chromatog. properties of clobazam (I) [22316-47-8], as well as its reactions with HNO3, 2,4-(O2N)2C6H3Cl [97-00-7], CS2 [75-15-0], NaOH, NaOEt [141-52-6], and HCl were studied in order to develop an anal. method for I. A series of reaction products, e.g., II [75524-15-1] and III [75524-16-2], were isolated and identified. A ring fission reaction with NaOH and the formation of the benzimidazolium salt with HCl were useful for the quant. determination of I.

IT 75524-11-7P

RL: PREP (Preparation)
 (preparation of)

RN 75524-11-7 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-methyl-8-nitro-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 16 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1977:55499 CAPLUS Full-text

DN 86:55499

TI 1-Acyl-5-phenyl-1H-1, 5-benzodiazepine-2,4-(3H, 5H)-diones via the corresponding N-phenyl-N-(2-acyl-aminophenyl)malonic acid ester amides

IN Weber, Karl Heinz; Bauer, Adolf

PA Boehringer Ingelheim G.m.b.H., Fed. Rep. Ger.

SO Can., 16 pp. CODEN: CAXXA4

DT Patent

LA English

FAN.CNT 1

FAN.CNT 1		KIND DATE		APPLICATION NO.		DATE	
	PA	TENT NO.	KIND	DATE	Ar	FLICATION NO.	DAIL
ΡI	CA	992540	 A1	19760706	CA	1972-135795	19720229
	ΑT	308755	В	19730725	AT	1971-1744	19710301
	ES	400238	A1	19750616	ES	1972-400238	19720228
	СН	570384	Α	19751215	CH	1975-11609	19720229
	СН	570987	Α	19751231	CH	1972-2900	19720229
	SE	389339	В	19761101	SE	1972-2557	19720229
	SE	393803	В	19770523	SE	1974-7780	19720229
	DK	137725	С	19781002	DK	1972-923	19720229
	NL	7202671	Α	19720905	NL	1972-2671	19720301
	DK	131627	В	19750811	DK	1973-3244	19730612
	ES	425165	A1	19760701	ES	1974-425165	19740409
	SE	7407780	Α	19740612	SE	1974-7780	19740612
	NO	7503159	Α	19720904	NO	1975-3159	19750916
PRAI	ΑT	1971-1744		19710301			
	DK	1972-923		19720229			
	NO	1972-624		19720229			
GI							

$$R^2$$
 R^2
 R^2

Benzodiazepinediones I (R = Ph, R1 = H, Br, CF3, C1, F, NO2, R2 = C1; R = cyclohexyl, Et, CH2Ph, C6H3(OMe)2-3,4, C6H4NO2-4, Me, H, CF3, CHMe2, C6H4Me-2, C6H4Cl-2, CH:CHPh, R1 = H, R2 = C1; R = Ph, R1 = H, R2 = Br, CF3) were prepared by condensing 5,2-R2(RCONH)C6H3NHC6H4R1-2 with C1COCH2CO2Et, saponifying II (R3 = Et), and cyclizing II (R3 = H) with SOCl2.

IT 40406-89-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 40406-89-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-benzoyl-7-chloro-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

.

.

L7 ANSWER 17 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1977:43753 CAPLUS Full-text

DN 86:43753

TI 5-Aryl-1H-1,5-benzodiazepine-2,4-diones

IN Weber, Karl Heinz; Merz, Herbert; Zeile, Karl; Giesemann, Rolf; Danneberg,

Peter

PA Boehringer Ingelheim G.m.b.H., Fed. Rep. Ger.

SO U. S. Reissue, 10 pp. Reissue of U.S. 3,660,381. CODEN: UUXXA2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 28972 US 3660381 PRAI US 1969-840839	E A	19760921 19720502 19690710	us 1975-637585 us 1969-840839	19751204 19690710
GI				

The title compds. I (R = 7-Cl, 8-Cl, 7-F3C, 7-Br, etc.; R1 = Me, Et, Pr, Ph, cyclohexyl, HOCH2CH2, etc.; R2 = pyridyl, 1-naphthyl, 2-thienyl, 2-O2NC6H4, etc.), sedatives and anticonvulsants, were prepared from I (R2 = H). Thus, I (R = 7-Cl, R1 = Me, R2 = H) (II), KOAc, 2-bromopyridine, Cu, and DMF were heated 15 hr at 160° to give 50-5% I (R = 7-Cl, R1 = Me, R2 = 2-pyridyl). II was prepared by refluxing 4,2-Cl(O2N)C6H3NHMe and MeO2CCH2COCl in C6H6, hydrogenating the anilide with Raney Ni in MeOH, and cyclizing the 2-amino compound with Na in EtOH.

IT 26412-29-3 26440-45-9 26440-64-2

26440-65-3 26558-59-8

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation as sedatives and anticonvulsants)

RN 26412-29-3 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-(3-hydroxypropyl)-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 26440-45-9 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-methyl-5-(2-nitrophenyl)-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 26440-64-2 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-methyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 26440-65-3 CAPLUS

CN Benzonitrile, 2-(8-chloro-2,3,4,5-tetrahydro-5-methyl-2,4-dioxo-1H-1,5-benzodiazepin-1-yl)- (9CI) (CA INDEX NAME)

RN 26558-59-8 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-cyclohexyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

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ANSWER 18 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
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1974:96043 CAPLUS Full-text AN

80:96043 DN

Benzimidazolo[1,2-a][1,5]benzodiazepines ΤI

Bauer, Adolf; Weber, Karl Heinz; Danneberg, Peter; Kuhn, Franz J. IN

Boehringer, C. H. Sohn PA

Ger. Offen., 13 pp. SO

CODEN: GWXXBX

Patent DT

LΑ German

FAN.CNT 1

ΡI

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2231560	A1	19740117	DE 1972-2231560	19720628

PRAI DE 1972-2231560 19720628

For diagram(s), see printed CA Issue.

Fifteen benzimidazolobenzodiazepines I (X = H2 or O; R = H, Me, Pr, Ac, AB Bz, or CHO; R1 = C1, CF3, or NH2), useful as anticonvulsants, were prepared by cyclization of II by Zn-H3PO4 in dioxane, CrO3-H2SO4 in Me2CO, or SnCl2-HCl in TMF and if R \neq H optionally followed by acylation.

40114-75-8 IT

> RL: RCT (Reactant); RACT (Reactant or reagent) (reductive cyclization of)

40114-75-8 CAPLUS RN

1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 8-chloro-1-(2-nitrophenyl)-CN (9CI)

(CA INDEX NAME)

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L7 ANSWER 19 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
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AN 1973:97729 CAPLUS Full-text

DN 78:97729

TI 2-(Alkoxy or alkylthio)-5-phenyl-4H-3,5-dihydro-1,5-benzodiazepin-4-ones

IN Weber, Karl Heinz; Bauer, Adolf; Danneberg, Peter; Minck, Klaus

PA Boehringer Ingelheim G.m.b.G

SO U.S., 6 pp. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
PI PRAI	us 3711467 us 1971-194002	Α	19730116 19711019	us 1971-194002	19711019

GI For diagram(s), see printed CA Issue.

Benzodiazepinediones I(R = F3C, NO2; R1 = H) reacted with (RO)30+ BF4-(R = Et, Me2CH, Me, Bu) in CHCl3 at room temperature to yield the benzodiazepinones II (R = F3C, R1 = H, R2 = Et, Me2CH, Me, Bu; R = NO2, R1 = H, R2 = Et), which possessed psychosedative activity. I(R = Cl, Br; R1 = H, Br, Cl, F, CF3, NO2) were treated with PCl5 in DMF and then NaOEt to give 7 corresponding II(R2 = Et). I(R = Cl, NO2, F3C, R1 = H) were refluxed with P2S5 to give the 2-thione analogs which reacted with NaH and MeI to give the (methylthio)benzodiazepinones III(R = Cl, NO2, F3C).

IT 40114-75-8

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with phosphorus pentachloride and sodium ethoxide)

RN 40114-75-8 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 8-chloro-1-(2-nitrophenyl)-(9CI)

(CA INDEX NAME)

L7 ANSWER 20 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1973:16148 CAPLUS Full-text

DN 78:16148

TI Benzodiazepines with psychotropic activity. V. 1,5-Benzodiazepinetriones

and their precursors

Bauer, Adolf; Weber, Karl Heinz

CS Wiss. Abt., C. H. Boehringer Sohn, Ingelheim, Fed. Rep. Ger.

Justus Liebigs Annalen der Chemie (1972), 762, 73-82 CODEN: JLACBF; ISSN: 0075-4617

DT Journal

ΑU

LA German

GI For diagram(s), see printed CA Issue.

The CrO3 oxidation of the diones (I, R = Me, Bu; R1 = Cl, Br, NO2, CF3; R2 = Ph, o-F3CC6H4) to the triones II, proceeded via the diones III and IV. Contrary to dialuric acid, IV was not present in the enediol form. At higher temps., in acid or alkaline medium, II (R1 = CF3, R2 = Ph) was converted into a 6-membered ring system (e.g. in refluxing xylene to the dione V).

IT 36985-30-5

RL: RCT (Reactant); RACT (Reactant or reagent)
 (chromium oxide oxidation of)

RN 36985-30-5 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-[(butylamino)methylene]-8-chloro-

1-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

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L7 ANSWER 21 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
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AN 1973:4290 CAPLUS Full-text

DN 78:4290

TI 1-Acyl-5-phenyl-1H-1,5-benzodiazepine-2,4(3H,5H)-diones

IN Weber, Karl Heinz; Bauer, Adolf

PA Boehringer, C. H., Sohn

SO Ger. Offen., 11 pp. CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

C MIN.	CIVI				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
					
ΡI	DE 2114844	Α	19721012	DE 1971-2114844	19710326
	СН 566325	Α	19750915	CH 1972-4329	19720323
	NL 7204008	Α	19720928	NL 1972-4008	19720324
	AT 315850	В	19740610	AT 1972-2584	19720324
	CA 959836	A1	19741224	CA 1972-138024	19720324
	ES 401130	A1	19750216	ES 1972-401130	19720324
PRAT	DE 1971-2114844		19710326		

GI For diagram(s), see printed CA Issue.

Twenty-nine title compds. (I, e.g. R = Ph, H, o-MeC6H4, cyclohexyl, PhCH:CH, 2-furyl, Et; R1 = H, p-Cl, o-O2N; R2 = Cl), useful as psychosedatives, muscle relaxants, or anticonvulsants, were prepared by refluxing the diamines (II) with CH2(COCl)2 in C6H6.

IT 40406-89-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 40406-89-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-benzoyl-7-chloro-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

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L7 ANSWER 22 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
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AN 1972:540179 CAPLUS Full-text

DN 77:140179

TI 3,3-Dihydroxy-1H-1,5-benzodiazepine-2,4(3H,5H)-diones or their dehydration

products

IN Bauer, Adolf; Weber, Karl Heinz; Minck, Klaus; Danneberg, Peter

PA Boehringer, C. H., Sohn

SO Ger. Offen., 19 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

E TAIL • C	OTA T	-					
	PAT	ENT NO.	KIND	DATE	AP	PLICATION NO.	DATE
ΡI	DE	2103744	Α	19720810	DE	1971-2103744	19710127
	BE	778543	A1	19720726	BE	1972-113272	19720126
	NL	7201049	Α	19720731	NL	1972-1049	19720126
	US	3711468	А	19730116	US	1972-221072	19720126
	ΆT	313906	В	19740311	AT	1972-610	19720126
	GB	1373277	Α	19741106	GB	1972-3742	19720126
	$_{ m IL}$	38644	A 1	19760130	${\tt IL}$	1972-38644	19720126
	FR	2123475	A5	19720908	FR	1972-2740	19720127
	FR	2123475	В1	19750314			
PRAI	DE	1971-2103744		19710127			

GI For diagram(s), see printed CA Issue.

Sixteen title compds. (I, R = H, Me, CHMe2, allyl; R1 = R2 = OH, R1R2 = O; R3 = Ph, 2-pyridyl, o-ClC6H4, o-FC6H4, o-CF3C6H4, o-NO2C6H4, p-HOC6H4; R4 = Cl, Br, NO2, CF3) useful as tranquilizers, anticonvulsants, or intermediates thereof, were prepared by oxidation of I (R1R2 = CHNHBu, CHNMe2) with KMnO4 in dilute H2SO4 and Me2CO, of I (R1 = H, R2 = OH) with MnO2 in Me2SO and AcOEt to give I (R1 = R2 = OH) and drying in vacuo to give I (R1R2 = O), or by alkylation of I (R = H).

IT 37957-73-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 37957-73-6 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3,3-dihydroxy-1-methyl-5-(2-nitrophenyl)-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)

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L7 ANSWER 23 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
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AN 1972:540177 CAPLUS Full-text

DN 77:140177

TI 3-Hydroxy-1H-1,5-benzodiazepine-2,4(3H,5H)-diones

IN Weber, Karl Heinz; Minck, Klaus; Bauer, Adolf; Danneberg, Peter

PA Boehringer, C. H., Sohn

SO Ger. Offen., 18 pp. CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 2

PATENT NO.			KIND	DATE	APP	LICATION NO.	DATE
ΡI	DE.	2103745	 А	19720810	DE	1971-2103745	19710127
		60491	P	19760615	RO	1972-71243	19720114
		60492	P	19760615	RO	1972-71244	19720114
		61669	P	19761115	RO	1972-69392	19720114
		465791	D	19750330		1972-1740811	19720124
	SU	493971	D	19751128	SU	1972-1926941	19720124
		164575	P	19740328	HU	1972-BO1347	19720125
		399169	A1	19750601		1972-399169	19720125
		778542	A1	19720726		1972-113271	19720126
	NL	7201048	Α	19720731	NL	1972-1048	19720126
	US	3707538	Α	19721226		1972-221046	19720126
	DD	99376	С	19730813		1972-160513	19720126
	ZA	7200538	Α	19730926		1972-538	19720126
	AT	315849	В	19740610		1972-609	19720126
	ΑT	315864	В	19740610		1973-6111	19720126
	ΑT	316566	В	19740725		1973-6112	19720126
	GB	1374529	A	19741120		1972-3719	19720126
	DK	130411	В	19750217		1972-346	19720126
	IL	38643	A1	19760130		1972-38643	19720126
	PL	84243	P	19760331		1972-175545	19720126
	PL	84620	P	19760430		1972-153120	19720126
	FR	2123474	A 5	19720908	FR	1972-2739	19720127
	FR	2123474	B1	19751010			
	CA	967957	A1	19750520		1972-133282	19720127
	SU	460627	D	19750215		1973-1926940	19730124
	ES	425108	A1	19760701		1974-425108	19740408
	ES	425107	A1	19760701	ES	1974-425107	19740408
PRAI	DE	1970-2053681		19701102			
	DE	1971-2103745		19710127			

GI For diagram(s), see printed CA Issue.

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 37681-55-3 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-hydroxy-1-methyl-5-(2-nitrophenyl)-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Twenty-one title compds. (I, R = H, Me, Et, CHMe2, CH2CH2OH, allyl; R1 = H; R2 = OH; R3 = Ph, 2-pyridyl, C6H4R5; R5 = o-Cl, o-Br, o-, and m-F, o-CF3, o-No2; R4 = F, Cl, Br, CF3, No2), useful as tranquilizers, anticonvulsants or intermediates thereof, were prepared by reduction of I (R1 = R2 = OH) with Zn-AcOH, by oxidation of I (R1R2 = CHNHBu) with KMnO4 in dilute H2SO4 and Me2CO, by treatment of I (R1R2 = N2) with H2O in MeCN in the presence of Cu or CuSO4 or by alkylation of I (R = H). Pharmaceutical compns. containing I were reported.

IT 37681-55-3P

L7 ANSWER 24 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1972:540176 CAPLUS Full-text

DN 77:140176

TI 3-Diazo-1H-1,5-benzodiazepine-2,4(3H,5H)-diones

IN Weber, Karl Heinz; Bauer, Adolf; Pck, Karl Heinz

PA Boehringer, C. H., Sohn

SO Ger. Offen., 8 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

11111	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 2103746	Α	19720810	DE 1971-2103746	19710127
	BE 778541	A1	19720726	BE 1972-113270	19720126
	NL 7201047	Α	19720731	NL 1972-1047	19720126
	FR 2124894	A 5	19720922	FR 1972-2738	19720127
PRAI	DE 1971-2103746		19710127		

GI For diagram(s), see printed CA Issue.

AB Sixteen title compds. (I, R = Me, Et, CHMe2, CH2CH2OH, allyl; R1 = Ph, 2-pyridyl, C6H4R3; R3 = o-Cl, o-F, m-F, o-CF3, o-NO2; R2 = F, Cl, Br, CF3), useful as intermediates for tranquilizers, were prepared by diazotizing 3-unsubstituted benzodiazepinedi-ones with MeC6H4SO2N3 in the presence of NaH in THF.

IT 37683-17-3P 37683-18-4P 37683-19-5P

37683-22-0P 37683-23-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 37683-17-3 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 5-(2-chlorophenyl)-3-diazo-1-methyl-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 37683-18-4 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-diazo-5-(2-fluorophenyl)-1-methyl-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 37683-19-5 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-3-diazo-1-methyl-5-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 37683-22-0 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-diazo-1-methyl-5-(2-nitrophenyl)-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 37683-23-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-diazo-5-(3-fluorophenyl)-1-methyl-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 25 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1972:501549 CAPLUS Full-text

DN 77:101549

TI Benzodiazepines with psychotropic activity. IV. 3-Aminomethylene-1,5-benzodiazepine-2,4-diones

AU Bauer, Adolf; Pook, Karl Heinz; Weber, Karl Heinz

CS Wiss. Abt., Boehringer, C. H., Sohn, Ingelheim, Fed. Rep. Ger.

Justus Liebigs Annalen der Chemie (1972), 757, 87-92 CODEN: JLACBF; ISSN: 0075-4617

DT Journal

LA German

GI For diagram(s), see printed CA Issue.

AB Alkyl-substituted title compds. (I) were prepared by reaction of the 3-unsubstituted compds. with PCl5 in DMF and several hr later with amines. Substitution of the 2nd N inhibited the reaction. Addition of the amine already after a few min (instead of several hr) caused formation of the corresponding amidine. Addition of ice water or NaOH led to the formyloxy or the dimethylaminomethylene intermediates, resp., the positions of which in a reaction scheme were discussed.

IT 36985-27-0P 36985-28-1P 36985-30-5P

36985-31-6P 36985-32-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 36985-27-0 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-[(butylamino)methylene]-8-chloro-

1-(2-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 36985-28-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-(2-bromophenyl)-3-[(butylamino)methylene]-8-chloro- (9CI) (CA INDEX NAME)

RN 36985-30-5 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-[(butylamino)methylene]-8-chloro-

1-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 36985-31-6 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-[(butylamino)methylene]-8-chloro-

1-(2-chlorophenyl)- (9CI) (CA INDEX NAME)

RN 36985-32-7 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-[(butylamino)methylene]-8-chloro-

1-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 26 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1972:448526 CAPLUS Full-text

DN 77:48526

TI Substituted 3-(aminomethylene)-1H-1,5-benzodiazepine-2,4(3H,5H)-diones

IN Bauer, Adolf; Weber, Karl Heinz; Pook, Karl Heinz

PA Boehringer, C. H., Sohn

SO Ger. Offen., 10 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN CNT 2

∨NT	2					
PAT	TENT NO.	KIND	DATE	APPLICATION NO.		DATE
					·	
DE	2053681	Α	19720510	DE	1970-2053681	19701102
US	3766169	Α	19731016	US	1971-193181	19711027
CH	562809	Α	19750613	CH	1971-15865	19711029
NL	7115006	Α	19720504	NL	1971-15006	19711101
IL	38048	A1	19741231	IL	1971-38048	19711101
FR	2113476	A 5	19720623	FR	1971-39241	19711102
AT	312618	В	19740110	ΑT	1971-9436	19711102
GB	1365109	A	19740829	GB	1971-50944	19711102
BE	774874	A 1	19720503	BE	1971-110107	19711103
US	3707538	A	19721226	US	1972-221046	19720126
DE	1970-2053681		19701102			
DE	1971-2103745		19710127			
	PAT DE US CH NL IL FR AT GB BE US DE	PATENT NO. DE 2053681 US 3766169 CH 562809 NL 7115006 IL 38048 FR 2113476 AT 312618 GB 1365109 BE 774874 US 3707538 DE 1970-2053681 DE 1971-2103745	PATENT NO. KIND	PATENT NO. KIND DATE	PATENT NO. KIND DATE APERTURY	PATENT NO. KIND DATE APPLICATION NO. DE 2053681 A 19720510 DE 1970-2053681 US 3766169 A 19731016 US 1971-193181 CH 562809 A 19750613 CH 1971-15865 NL 7115006 A 19720504 NL 1971-15006 IL 38048 A1 19741231 IL 1971-38048 FR 2113476 A5 19720623 FR 1971-39241 AT 312618 B 19740110 AT 1971-9436 GB 1365109 A 19740829 GB 1971-50944 BE 774874 A1 19720503 BE 1971-110107 US 3707538 A 19721226 US 1972-221046 DE 1970-2053681 19701102

GI For diagram(s), see printed CA Issue.

AB Twenty title compds. [I, R = Cl, Br, NO2, CF3, R1 = Ph, o-FC6H4, o-BrC6H4, o-O2NC6H4, o-F3CC6H4, R2 = H, Me, R3 = H, Me, Bu, CMe3, CH2CHMe2, CH2CH:CH2, (CH2)2NEt2], were prepared from 5,7-disubstituted 1H-1,5-benzodiazepine-2,4(3H,5H)-diones by successive reaction with PCl5-DMF and R2NHR3. Thus, PCl5 was added to 7-bromo-5-phenyl-1H-1,5-benzodiazepine-2,4(3H,5H)-dione in DMF at <15°, the mixture stirred overnight, and excess BuNH2 added with cooling to give 85.5% I (R = Br, R1 = Ph, R2 = H, R3 = Bu).

IT 36985-27-0P 36985-28-1P 36985-30-5P

36985-31-6P 36985-32-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 36985-27-0 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-[(butylamino)methylene]-8-chloro-1-(2-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 36985-28-1 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-(2-bromophenyl)-3-[(butylamino)methylene]-8-chloro- (9CI) (CA INDEX NAME)

RN 36985-30-5 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-[(butylamino)methylene]-8-chloro-1-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 36985-31-6 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-[(butylamino)methylene]-8-chloro-1-(2-chlorophenyl)- (9CI) (CA INDEX NAME)

RN 36985-32-7 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 3-[(butylamino)methylene]-8-chloro-1-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 27 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1972:419620 CAPLUS Full-text

DN 77:19620

TI Benzodiazepines with psychotropic activity. III. N-Aryl- and N-heteroaryl-1H-1,5-benzodiazepine-2,4(3H,5H)-diones

AU Weber, Karl Heinz; Bauer, Adolf; Hauptmann, Karl H.

CS Wiss. Abt., C. H. Boehringer Sohn, Ingelheim, Fed. Rep. Ger.

SO Justus Liebigs Annalen der Chemie (1972), 756, 128-38 CODEN: JLACBF; ISSN: 0075-4617

DT Journal

LA German

OS CASREACT 77:19620

GI For diagram(s), see printed CA Issue.

Twenty-five 1-R-5-R1-7(or 8)-R2-1H-1,5-benzodiazepine-2,4(3H,5H)-diones [I, R = C1-4 alkyl or Ph; R1 = (monosubstituted) phenyl, 1-naphthyl, (monosubstituted) pyridyl, 2-pyrimidinyl, or 2-thienyl; R2 = 7-C1, 7-Br, 7-F, 7-CF3, 8-CF3, or 8-OMe] were prepared by cyclization of 2,4(r 5)-H2N(R2)C6H3N(R)COCH2-CO2Et with EtONa in EtOH to give I (R1 = H) and substitution by known reactions. I (R1 = Ph) were of similar effect as the tranquilizer diazepam (II). Successive reaction of I (R = Ph, R1 = alkyl) with NaH and alkyl halides gave the corresponding 3-alkyl compds.; treatment of I (R = aryl, R1 = alkyl) with EtOH and traces of alkali gave 2-benzimidazolinones by ring contraction. N-Monosubstituted I were easily arylated or heteroarylated. This reaction, dependent on the structure, was investigated kinetically.

IT 26440-45-9P 26440-65-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 26440-45-9 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-methyl-5-(2-nitrophenyl)-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 26440-65-3 CAPLUS

CN Benzonitrile, 2-(8-chloro-2,3,4,5-tetrahydro-5-methyl-2,4-dioxo-1H-1,5-benzodiazepin-1-yl)- (9CI) (CA INDEX NAME)

L7 ANSWER 28 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1972:3918 CAPLUS Full-text

DN 76:3918

TI Psychosedative and anticonvulsive 5-aryl-1H-1,5-benzodiazepine-2(3H),4(5H)-

diones

IN Weber, Karl Heinz; Minck, Klaus; Bauer, Adolf; Merz, Herbert

PA Boehringer, C. H., Sohn

SO Ger. Offen., 19 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

11111	PAT	TENT NO.	KIND	DATE	API	PLICATION NO.	DATE
ΡI	DE	2006601	Α	19710909	DE	1970-2006601	19700213
	RO	59278	P	19760215	RO	1971-65703	19710126
	CS	153097	P	19740222	CS	1971-586	19710127
	SU	361567	D	19721207	SU	1971-1615161	19710128
	US	3678033	Α	19720718	US	1971-112070	19710202
	IL	36173	A1	19741129	IL	1971-36173	19710211
	BE	762901	A1	19710812	BE	1971-99728	19710212
	NL	7101880	Α	19710817	NL	1971-1880	19710212
	NL	7101887	Α	19710817	NL	1971-1887	19710212
	FR	2081511	A 1	19711203	FR	1971-4795	19710212
	FR	2081511	A 5	19711203			
	ZA	7100908	Α	19721025	zA	1971-908	19710212
	ΑT	303045	В	19721110	AT	1971-1235	19710212
	ES	388200	A 1	19730501	ES	1971-388200	19710212
	NO	128027	В	19730917	NO	1971-521	19710212
	CH	548403	Α	19740430	CH	1971-2098	19710212
	SE	367196	В	19740520	SE	1971-1830	19710212
	\mathtt{PL}	82744	P	19751031	$_{ m PL}$	1971-146209	19710212
	FI	50976	В	19760531	FI	1971-407	19710212
	DK	135422	В	19770425	DK	1971-653	19710212
	GB	1340535	Α	19731212	GB	1971-21625	19710419
PRAI	DE	1970-2006601		19700213			•

GI For diagram(s), see printed CA Issue.

The title compds. (I; R = H, Me, Et, iso-Pr, allyl, AcOCH2CH2, HOCH2CH2, AΒ Pr, MeOCH2CH2, cyclopropylmethyl, or Bu; R1 = NO2, C1, CF3, H, CN, Br, or F; Ar = Ph, o-ClC6H4, 2-pyridyl, o-ClC6H4, o-BrC6H4, o-FC6H4, o-O2NC6H4, o-NCC6H4, o-F3CC6H4, o-MeOC6H4, o-MeC6H4, o-AcOC6H4, or o-AcC6H4), useful as tranquilizers, were prepared in 48-93 yield by oxidation of II with Cro3, KMnO4, or MnO2 and compns. of dragees, tablets, suppositories, and ampuls containing I as active substances were reported. Thus, II (R = H, R1 = NO2, Ar = Ph) was oxidized with Cro3-H2SO4-H2O in Me2CO to give 92 I (R = H, R1 = NO2, Ar = Ph). Similarly prepared were 44 other I. NaH was added to a mixture of 40 q I (R = H, R1 = NO2, Ar = Ph) (III) and THF, MeI added, and the mixture stirred 1 hr to give 35 g I (R = Me, R1 = NO2, Ar = Ph). Triton B, H2O, and ethylene oxide were added to a mixture of 20 g III and MeOH and the mixture stirred 50 hr at room temperature to give 11 g I (R = CH2CH2OH, R1 = NO2, Ar = Ph).

IT 26440-64-2P 26440-65-3P 34487-99-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 26440-64-2 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-methyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 26440-65-3 CAPLUS

CN Benzonitrile, 2-(8-chloro-2,3,4,5-tetrahydro-5-methyl-2,4-dioxo-1H-1,5-benzodiazepin-1-yl)- (9CI) (CA INDEX NAME)

RN 34487-99-5 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-(2-chlorophenyl)-8-nitro-(9CI)

(CA INDEX NAME)

L7 ANSWER 29 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1971:510348 CAPLUS Full-text

DN 75:110348

Psychosedative and anticonvulsive 5-(nitrophenyl)-1H-1,5-benzodiazepine-2,4(3H,5H)-diones

IN Weber, Karl Heinz; Merz, Herbert; Zeile, Karl; Giesemann, Rolf; Danneberg, Peter

PA Boehringer, C. H., Sohn

SO Ger. Offen., 14 pp. Division of Ger. Offen. 1,934,606 CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

РΤ

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1966128	А	19710812	DE 1969-1966128	19690708

PRAI DE 1969-1966128 19690708
GI For diagram(s), see printed CA Issue.

Division of Ger. Offen. 1,934,606. Title compds. (I) were prepared by arylation of 1H-1,5-benzodiazepine-2,4(3H,5H)-diones. Thus, 2,4-O2N(F3C)C6H3NHMe was refluxed with ClCOCH2CO2Et in C6H6 2-3 hr to give 1,4-O2N(F3C)C6H3N(Me)COCH2CO2Et, which was hydrogenated to give the 2-amino derivative, which was cyclized with NaEtOH at room temperature to give, after reaction with HCl, 91% 1-methyl-7-(trifluoromethyl)-1,5-benzodiazepine-2,4(3H,5H)-dione (II). II reacted with o-ClC6H4NO2 in

presence of AcOK and CuSO4 1 hr at 150° to give 80% I (o-NO2, R = Me, R1 = F3C) (III). Similarly prepared were I (NO2 position, R, and R1 given): o, Me, Cl; m, Me, F3C; p, Me, F3C; o, HO(CH2)3, Cl; o, cyclohexyl, Cl. Pharmaceutical compns. containing I are described.

IT 26412-29-3P 26440-45-9P 26440-64-2P 26558-59-8P 30008-78-7P 33548-63-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 26412-29-3 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-(3-hydroxypropyl)-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 26440-45-9 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-methyl-5-(2-nitrophenyl)-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 26440-64-2 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-methyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 26558-59-8 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-cyclohexyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 30008-78-7 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-methyl-5-(p-nitrophenyl)-7-(trifluoromethyl)- (8CI) (CA INDEX NAME)

RN 33548-63-9 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-methyl-5-(m-nitrophenyl)-7-(trifluoromethyl)- (8CI) (CA INDEX NAME)

- L7 ANSWER 30 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1970:111524 CAPLUS Full-text
- DN 72:111524
- TI 5-Aryl-1H-1,5-benzodiazepine-2,4(3H,5H)diones
- IN Weber, Karl Heinz; Merz, Herbert; Zeile, Karl; Danneberg, Peter B.;
 Giesemann, Rolf
- PA Boehringer, C. H., Sohn
- SO Ger. Offen., 33 pp. CODEN: GWXXBX
- DT Patent
- LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	DE 1934606	Α	19700129	DE 1969-1934606	19690708
	DE 1934606	B2	19730517		
	DE 1934606	C3	19731213		
	BE 735944	Α	19700112	BE 1969-735944	19690710
	FR 2012867	A5	19700327	FR 1969-23721	19690711
	CA 959055	A1	19741210	CA 1969-56763	19690711
	GB 1274029	Α	19720510	GB 1969-1274029	19690714
PRAI	AT 1968-6778		19680712		
	AT 1968-6777		19680712		

- GI For diagram(s), see printed CA Issue.
- AB The title compds., I, useful as psychoseda-tives and anticonvulsants and with an unusually low toxicity, are prepared by arylating or heteroarylating the N of a 1H-1,5-benzodiazepine-2,4-dione. Thus, 1 mole 7-chloro-1-methyl-1H-1,5-benzodiazepine-2,4-dione (II), 1.5 moles AcOEt, 1.6 moles o-bromopyridine, 180 g powdered Cu, and 1300 ml DMF was refluxed (160°) 15 hr to give 55% 7-chloro-1-methyl-5-(2-pyridyl)-1H-1,5- benzodiazepine-2,4(3H,5H)-dione, (I), (R1 = Me, R2 = H, R3 = 2pyridyl, R4 = 7-Cl), m. 231-3° (CH2Cl2-petroleum ether). 2-Nitro-4chloro-N- methylaniline (2 moles) was refluxed with 330 g of Et O2CCH2COCl in 150 ml C6H6 2-3 hr to give 590 g 2-nitro-4-chloro- Nmethylmalonic ethyl ester anilide. This (200 g) was hydrogenated in MeOH over Raney Ni at 5 atm to give 137 g 2-amino-4-chloro-Nmethylmalonicester anilide, m. 114-17°. This (872.2 g) was stirred into a solution of 81.5 g Na in 7.25 l. EtOH t o precipitate the Na salt of II, worked up to give 82.5% II, m. 215-17°. 1-Methyl- 7 trifluoromethyl - 1,5- benzodiazepine-2,4- (3H,5H)-dione w as heated 1 hr at 150° with 350 g "o-chloro-benzene," 13 g. AcOK, and 1 g dry CuSO4 to give 80% 1-methyl-5 - (2 - nitrophenyl) - 7 - trifluoromethyl - 1,5 benzodiazepine - 2,4-(3H,5H)-dione, m. $230-2^{\circ}$ (CH2Cl2-isoPr2O). The following I were similarly prepared (R1, R2, R3, R4, and m.p. given): Me, H, α -naphthyl, 7-Cl, 209-11°; Me, H, 2-thienyl, 7-Cl, 173-4°; Me, H, 3-pyridyl, 7-Cl, 164-6°; Me, H, 3-chloro-2-pyridyl, 7-Cl, 216-17°; Me, H, 4-methyl-2-pyridyl, 7-Cl, 225-7°; Me, H, 2-ni-trophenyl, 7-Cl, 206-8°; Me, H, 2-cyanophenyl, 7-Cl, 209-10°; Me, H, 2carbomethoxyphenyl, 7-Cl, 183-4°; Me, H, 2-acetyl-phenyl, 7-Cl, 205-6°; Pr, H, 2-pyridyl, 7-Cl, 177-8°; Me, H, Ph, 7-CO2Me, 145-7°; Me, H, 2pyridyl, 7-Cl, 244-6°; Me, H, 2-pyridyl, 7-CF3, 164-8°; Et, H, 2pyridyl, 8-Cl, 194-6°; Et, H, 2-pyridyl, 7-Cl, 194-6°; Et, H, 3-pyridyl, 7-Cl, 196-8°; Bu, H, 2-pyridyl, 7-Cl, 148-9°; Ph, H, 2-pyridyl, 8-Cl, 203-4°; Me, H, 2-pyridyl, 7-Br, 197-8°; Me, H, 2-pyrimidyl, 7-Cl, 243-5°; cyclohexyl, H, 2-pyridyl, 7-Cl, 190°; iso-Pr, H, 2-pyridyl, 7-Cl, 165-7°; Me, H, Ph, 7-Ac, 134-7°; 2-hydroxyethyl, H, 2-pyridyl, 7-Cl, 176-8°; Et, H, 2-pyridyl, 7-CF3, 153-5°; benzyl, H, 2-pyridyl, 7-Cl,

216-18°; 2-hydroxyethyl, H, 2-pyridyl, 7-CF3, 149-51°; AcOCH2CH2, H, 2-pyridyl, 7-Cl, 196-8°; 3-hydroxypropyl, H, 2-nitrophenyl, 7-Cl, 162-3°; cyclohexyl, H, 2-nitrophenyl, 7-Cl, 182-3°; and Me, H, Ph, 7-CN, 260-2°. Pharmaceutical formulations are given.

IT 26412-29-3P 26440-45-9P 26440-64-2P

26440-65-3P 26558-59-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 26412-29-3 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-(3-hydroxypropyl)-5-

(2nitrophenyl)- (9CI) (CA INDEX NAME)

RN 26440-45-9 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-methyl-5-(2-nitrophenyl)-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 26440-64-2 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-methyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 26440-65-3 CAPLUS

CN Benzonitrile, 2-(8-chloro-2,3,4,5-tetrahydro-5-methyl-2,4-dioxo-1H-1,5-benzodiazepin-1-yl)- (9CI) (CA INDEX NAME)

RN 26558-59-8 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-cyclohexyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

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L7 ANSWER 31 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
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CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 2

	PATENT N	o. KIND	DATE	APPLICATION NO	. DATE
ΡI	DE 19346	507 A	19700122	DE 1969-193460	7 19690708
	AT 28630		19701210	AT 1968-6777	19680712
	SU 37482	5 D	19730320	SU 1969-134527	3 19690707
	CH 51710	3 A	19711231	CH 1969-517103	19690709
	ES 36934	7 A1	19710601	ES 1969-369347	19690710
	FI 49410	В	19750228	FI 1969-2049	19690710
	NL 69106	579 A	19700114	NL 1969-10679	19690711
	DK 12694	.2 B	19730903	DK 1969-3776	19690711
	SE 36674	.9 B	19740506	SE 1969-9884	19690711
	CA 95905	5 A1	19741210	CA 1969-56763	19690711
	PL 80171	P	19750830	PL 1969-134743	19690711
	GB 12740	29 A	19720510	GB 1969-127402	9 19690714
PRAI	AT 1968-	6777	19680712		
	AT 1968-	6778	19680712		

GI For diagram(s), see printed CA Issue.

The title compds. (I), are prepared by reacting benzodiazopinediones ABwith aryl halides over a Cu catalyst. Thus, 1 mole 7-chloro-1-methyl-1H-1,5- benzodiazepine-2,4-(3H,5H)-dione, 1.5 mole Ca(OAc)2, 1.6 mole obromopyridine, 180 g Cu powder and 1.3 l. HCONMe2 was kept 15 hr at 160° to yield 50-5% 7-chloro-1-methyl-5-(2-pyridyl)-1H-1,5- benzodiazepine-2,4-(3H,5H)-dione, m. 231-3°. The following I were similarly prepared (R1, R2, R3, R4, and m.p. given): Me, H, Ph, 7-CF3, 204-5°; Me, H, Ph, 7-Cl, 180-2°; Ph, H, Ph, 7-Cl, 255°; Me, H, 2-O2NC6H4, 7-CF3, 230-2°; Me, H, 2-naphthyl, 7-Cl, 209-11°; Me, H, 2-thienyl, 7-Cl, 173-4°; Me, H, 3-pyridyl, 7-Cl, 164-6°; Me, H, 3-chloro-2-pyridyl, 7-Cl, 216-17°; Me, H, 4-methyl-2-pyridyl, 7-Cl, 225-7°; Me, H, 4-tolyl, 7-Cl, 203-4°; Me, H, 2-tolyl, 7-Cl, 201-3°; Me, H, 2-(o-xylyl), 7-Cl, 200-2°; Me, H, 2-(mxylyl), 7-Cl, 190-2°; Me, H, 2-EtC6H4, 7-Cl, 179-80°; Me, H, 2-MeOC6H4, H, 205-7°; Me, H, 3-MeOC6H4, H, 126-7°; Me, H, 4-MeOC6H4, H, 175-7°; Me, H, 2-ClC6H4, 7-Cl, 222-4°; Me, H, 3-ClC6H4, 7-Cl, 191-2°; Me, H, 4-ClC6H4, 7-Cl, 227-9°; Me, H, 2-(m-chlorotolyl), 7-Cl, 202-4°; Me, H, 3-F3CC6H4, 7-C1, 192-3°; Me, H, 2-O2NC6H4, 7-C1, 206-8°; Me, H, 2-NCC6H4, 7-C1, 209-10°; Me, H, 2-MeO2CC6H4, 7-C1, 183-4°; Me, H, 2-Ac-C6H4, 7-C1, 205-6°; Et, H, Ph, 7-Cl, 227-8°; Pr, H, Ph, 7-Cl, 197-8°; Pr, H, 2pyridyl, 7-Cl, 177-8°; iso-Pr, H, Ph, 7-Cl, 143-5°; Bu, H, Ph, 7-Cl, 158-60°; CH2:CHCH2, H, Ph, 7-Cl, 203-6°; cyclohexyl, H, Ph, 7-Cl, 231-3°; 2-(m-xylyl), H, Ph, 8-Cl, 244-5°; 4-ClC6H4, H, Ph, 8-Cl, 243-5°; 4-MeOC6H4, H, Ph, H, 192-4°; Me, H, Ph, 8-CF3, 130-1°; Me, H, Ph, 8-OMe, 162-4°; Me, H, Ph, 7-Me, 194-5°; Me, H, Ph, H, 170-2°; Me, H, Ph, 7-OMe, 132-4°; Me, H, Ph, 8-Cl, 161-2°; Me, H, Ph, 8-Me, 154-6°; Me, H, Ph, 6-Cl, 172-4°; Me, H, Ph, 7-F, 185-7°; Me, H, Ph, 7-Br, 202-4°; Me, H, Ph, 7-OAc, 146-7°; Me, Me, Ph, 7-Cl, 218-20°; Me, Me, 2-tolyl, 7-Cl, 195-7°; Et, H, 2-xylyl, 7-Cl, 201-3°; Et, H, Ph, 8-CF3, 176-8°; Me, H, 2pyridyl, 7-CF3, 164-8°; benzyl, H, Ph, 7-Cl, 181-2°; Et, H, 2-pyridyl,

AN 1970:100771 CAPLUS Full-text

DN 72:100771

TI 5-Aryl-1H-1,5-benzodiazepine-2,4(3H,5H)-diones

IN Weber, Karl Heinz; Merz, Herbert; Zeile, Karl

PA Boehringer, C. H., Sohn

SO Ger. Offen., 27 pp.

8-Cl, 194-6°; Et, H, 2-pyridyl, 7-Cl, 194-6°; Et, H, 3-pyridyl, 7-Cl, 196-8°; Bu, H, 2-pyridyl, 7-Cl, 148-9°; Ph, H, 2-pyridyl, 8-Cl, 203-4°; Me, H, 2-F3CC6H4, 7-CF3, 164-5°; Me, H, 2-F3CC6H4, 7-Cl, 204-5°; Me, H, 2-pyridyl, 7-Br, 242-3°; Me, H, 2-pyrimidyl, 7-Cl, 243-5°; cyclohexyl, H, 2-pyridyl, 7-Cl, 190°; cyclopropylmethyl, H, Ph, 7-Cl, 213-16°; HOCH2CH2, H, Ph, 7-Cl, 208-10°; MeOCH2CH2, H, Ph, 7-Cl, 175-8°; Me2NCH2CH2, H, Ph, 7-Cl, 148-50°; iso-Pr, H, 2-pyridyl, 7-Cl, 165-7°; Me, H, Ph, 7-Ac, 134-7°; Me, H, 2-BrC6H4, 7-Cl, 210-12°; Et, H, 2-MeOC6H4, H, 194-5°; Me, H, 2-MeOC6H4, 8-Cl, 221-2°, Et, Me, Ph, 7-Cl, 208-10°; Me, H, 4-ClC6H4, H, 190-2°; Me, H, 3-tolyl, H, 163-4°; Et, Me, 2-tolyl, 7-Cl, 173-4°; Pr, Me, Ph, 7-Cl, 155-7°; iso-Pr, Me, Ph, 7-Cl, 116°; Me, H, 2-(m-xylyl), H, 222-4°; Et, H, 2-ClC6H4, 7-Cl, 207-9°; iso-Pr, H, 2-ClC6H4, 7-Cl, 215-17°; Et, H, Ph, 7-Br, 201-3°; Me, H, 2-FC6H4, 7-Cl, 153-4°; Me2C:-CHCH2, H, Ph, 7-Cl, 154-6°; ClCH:CHCH2, H, Ph, 7-Cl, 153-4°; benzyl, H, Ph, 7-Cl, 197-9°; HOCH2CH(Me), H, Ph, 7-Cl, 192-4°; HOCH2CH2, H, 2-ClC6H4, 7-Cl, 197-9°; HOCH2CH(Me), H, 2-ClC6H4, 7-Cl, 156-8°; MeOCH2CH2, H, Ph, 7-Cl, 175-8°; MeOCH2, H, Ph, 7-Cl, 164-5°; EtOCH2CH2, H, Ph, 7-Cl, 135-7°; Et2NCH2CH2, H, Ph, 7-Cl, 145°; Cl(CH2)3, H, Ph, 7-Cl, 156-8°; Me, H, 2-ClC6H4, 7-CF3, 175-7°; Me, H, 2-BrC6H4, 7-CF3, 194-5°; Me, H, 2-BrC6H4, 7-Br, 205-8°; Me, H, 2-BrC6H4, 7-F, 190-2°; Me, H, 2-FC6H4, 7-Cl, 195-6°; Me, H, 2-FC6H4, 7-CF3, 184-6°; HO(CH2)3, H, Ph, 7-Cl, 211-13°; HO(CH2)3, H, Ph, 7-CF3, 157-9°; HO(CH2)2, H, Ph, 7-CF3, 153-4°; Et3N(CH2)2, H, 2-FC6H4, 7-Cl, 134-6°; 3piperidinopropyl, H, Ph, 7-Cl, 142-4°; Me, H, 2-ClC6H4, 7-CF3, 175-7°; HO(CH2)2, H, 2-pyridyl, 7-Cl, 176-8°; Et, H, 2-pyridyl, 7-CF3, 153-5°; benzyl, H, 2-pyridyl, 7-Cl, 216-18°; HO(CH2)2, H, 2-pyridyl, 7-CF3, 149-51°; AcO(CH2)2, H, 2-pyridyl, 7-Cl, 196-8°; HO(CH2)3, H, 2-O2NC6H4, 7-Cl, 162-3°; cyclohexyl, H, 2-O2NC6H4, 7-Cl, 182-3°; and Me, H, Ph, 7-CN, 260-2°.

IT 26412-21-5P 26412-29-3P 26440-45-9P 26440-64-2P 26440-65-3P 26558-59-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 26412-21-5 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-[2-(dimethylamino)ethyl]-5-(o-fluorophenyl)- (8CI) (CA INDEX NAME)

RN 26412-29-3 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-(3-hydroxypropyl)-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 26440-45-9 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 1-methyl-5-(2-nitrophenyl)-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 26440-64-2 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-methyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 26440-65-3 CAPLUS

CN Benzonitrile, 2-(8-chloro-2,3,4,5-tetrahydro-5-methyl-2,4-dioxo-1H-1,5-benzodiazepin-1-yl)- (9CI) (CA INDEX NAME)

RN 26558-59-8 CAPLUS

CN 1H-1,5-Benzodiazepine-2,4(3H,5H)-dione, 7-chloro-1-cyclohexyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

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Structure attributes must be viewed using STN Express query preparation.

L4 HAS NO ANSWERS

L4 STR

Structure attributes must be viewed using STN Express query preparation.

(FILE 'HOME' ENTERED AT 10:10:56 ON 23 JUN 2004) FILE 'REGISTRY' ENTERED AT 10:11:05 ON 23 JUN 2004 STRUCTURE UPLOADED L1L2 37 S L1 L3 824 S L1 FUL FILE 'STNGUIDE' ENTERED AT 10:12:20 ON 23 JUN 2004 FILE 'REGISTRY' ENTERED AT 10:13:03 ON 23 JUN 2004 L4STRUCTURE UPLOADED 8 S L4 SAM SUB=L3 L5 214 S L4 FUL SUB=L3 L6 FILE 'CAPLUS' ENTERED AT 10:13:44 ON 23 JUN 2004 L7 31 S L6

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